10/516,808

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	726	(514/252.13,514/255.01,514/255.05,544/358,544/360,544/367,544/372,544/374,544/386).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/08/14 09:38
L2	102	l1 and piperazinyl and acyl and piperidine	US-PGPUB; USPAT	OR	ON	2007/08/14 09:39
L3	98	l1 and piperazin! and acyl and piperidine	US-PGPUB; USPAT	OR	ON	2007/08/14 09:39
L4	46	l3 and ketone	US-PGPUB; USPAT	OR	ON	2007/08/14 09:40
L5	11	l4 and thiazol	US-PGPUB; USPAT	OR	ON	2007/08/14 09:40

10/516,808

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR 7):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAY 01	New CAS web site launched
NEWS	3	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS	4	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS	5	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS	6	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS	7	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS	8	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents
NEWS	9	JUN 27	CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS	10	JUN 29	STN Viewer now available
NEWS	11	JUN 29	STN Express, Version 8.2, now available
NEWS	12	JUL 02	LEMBASE coverage updated
NEWS	13	JUL 02	LMEDLINE coverage updated
NEWS	14	JUL 02	SCISEARCH enhanced with complete author names
NEWS	15	JUL 02	CHEMCATS accession numbers revised
NEWS	16	JUL 02	CA/CAplus enhanced with utility model patents from China
NEWS	17	JUL 16	CAplus enhanced with French and German abstracts
NEWS	18	JUL 18	CA/CAplus patent coverage enhanced
NEWS	19	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS	20	JUL 30	USGENE now available on STN
NEWS	21	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	22	AUG 06	BEILSTEIN updated with new compounds
NEWS	23	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	24	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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http://www.cas.org/support/stngen/stndoc/properties.html

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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
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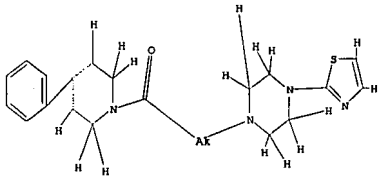

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Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 09:49:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 202 TO ITERATE

100.0% PROCESSED 202 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.01

L2 15 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.55	172.76

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FILE COVERS 1907 - 14 Aug 2007 VOL 147 ISS 8
FILE LAST UPDATED: 13 Aug 2007 (20070813/ED)

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=> s l2 full
L3 1 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:991507 CAPLUS
DOCUMENT NUMBER: 140:42206
TITLE: Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
INVENTOR(S): Bono, Francoise; Bosch, Michael; Dos Santos, Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 56 pp.

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CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104226	A1	20031218	WO 2003-FR1686	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003255645	A1	20031222	AU 2003-255645	20030605
EP 1513836	A1	20050316	EP 2003-757109	20030605
EP 1513836	B1	20060503		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1675203	A	20050928	CN 2003-818808	20030605
JP 2005533051	T	20051104	JP 2004-511296	20030605
AT 325122	T	20060615	AT 2003-757109	20030605
AT 336491	T	20060915	AT 2003-757108	20030605
PT 1513836	T	20060929	PT 2003-757109	20030605
ES 2264001	T3	20061216	ES 2003-3757109	20030605
ZA 2004009823	A	20060726	ZA 2004-9823	20041203
US 2006167007	A1	20060727	US 2004-516808	20041203
PRIORITY APPLN. INFO.:			FR 2002-7001 A	20020607
			WO 2003-FR1686 W	20030605

OTHER SOURCE(S): MARPAT 140:42206
GI

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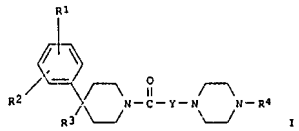

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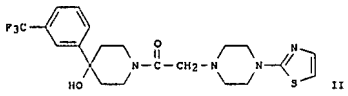

II

AB Title compds. I [wherein: Y = (CH2)n; n = 1 or 2; R1 = halo, CF3, alkyl, alkoxy, trifluoromethoxy; R2 = H, halo; R3 = H, OR5, CH2OR5, NH2 and derivs., NHCOR6 and derivs., NHCONH2 and derivs., CH2NR7R8, CH2NHCONH2 and derivs., alkoxycarbonyl, CONH2 and derivs.; or R3 forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R4 = 1,3-thiazol-2-yl; R5 = H, alkyl, alkylcarbonyl; R6 = alkyl, (CH2)mNH2 and derivs.; m = 1,2, or 3; R7, R8 = independently H, alkyl; R8 = (CH2)qOH, (CH2)qSMe; q = 2 or 3; or R7R8N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, I (m.p. = 157-158°) was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) and 1-(1,3-thiazol-2-yl)piperazine dihydrochloride (preparation given) in the presence of KI/K2CO3/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

IT 634613-42-6P, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone 634613-43-7P 634613-45-9P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone Trihydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 634613-42-6 CAPLUS
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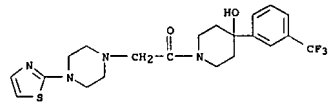

RN 634613-43-7 CAPLUS
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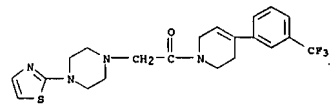
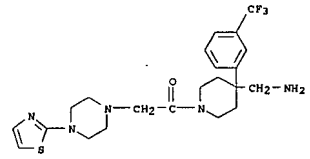


RN 634613-45-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

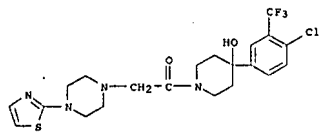


●3 HCl

IT 634613-37-9P 634613-38-0P 634613-39-1P
634613-40-4P 634613-41-5P 634613-44-8P,
2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-3,6-dihydro-1-(2H)-pyridinyl]-1-ethanone dioxalate 634613-47-1P,
1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone 634613-48-2P,
1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 634613-37-9 CAPLUS
CN 4-Piperidinol, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 634613-38-0 CAPLUS
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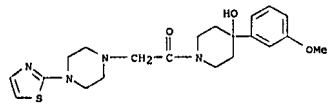
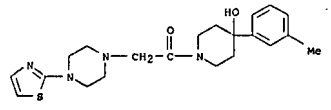
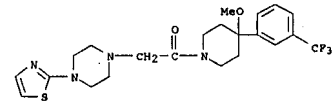


RN 634613-39-1 CAPLUS
CN 4-Piperidinol, 4-(3-methylphenyl)-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

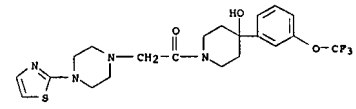


RN 634613-40-4 CAPLUS
CN Piperidine, 4-methoxy-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 634613-41-5 CAPLUS
CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-44-8 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

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CRN 634613-43-7
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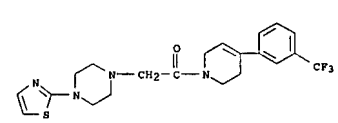

CM 2

CRN 144-62-7
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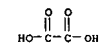
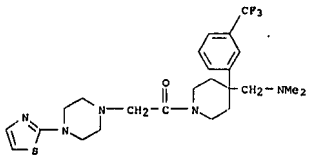


RN 634613-47-1 CAPLUS
CN 4-Piperidinemethanamine, N,N-dimethyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-48-2 CAPLUS
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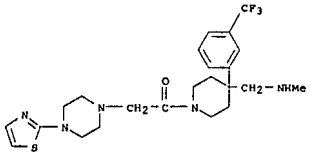
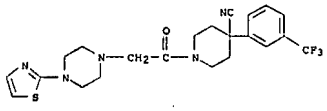
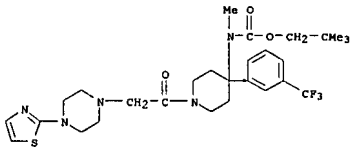


IT 634613-46-0P, 1-[2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile 634613-49-3P, tert-Butylmethyl [1-[2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)
RN 634613-46-0 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-49-3 CAPLUS
CN Carbamic acid, methyl[1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.21	178.97
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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FILE 'REGISTRY' ENTERED AT 09:51:11 ON 14 AUG 2007
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http://www.cas.org/support/stngen/stndoc/properties.html

=>
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L4 STRUCTURE UPLOADED

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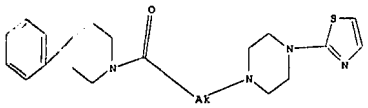
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 09:51:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 202 TO ITERATE

100.0% PROCESSED 202 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.01

L5 15 SEA SSS FUL L4

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	351.07
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.78

FILE 'CAPLUS' ENTERED AT 09:51:40 ON 14 AUG 2007
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FILE COVERS 1907 - 14 Aug 2007 VOL 147 ISS 8
FILE LAST UPDATED: 13 Aug 2007 (20070813/ED)

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http://www.cas.org/infopolicy.html.

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L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:991507 CAPLUS
DOCUMENT NUMBER: 140:42206
TITLE: Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
INVENTOR(S): Bono, Francoise; Bosch, Michaeel; Dos Santos, Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104226	A1	20031218	WO 2003-FR1686	20030605
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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PRIORITY APPLN. INFO.: FR 2002-7001 A 20020607
WO 2003-FR1686 W 20030605

OTHER SOURCE(S): MARPAT 140:42206
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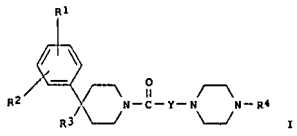

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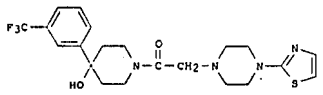


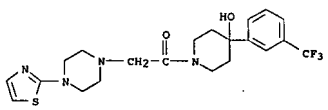
II

AB Title compds. I [wherein: Y = (CH2)n; n = 1 or 2; R1 = halo, CF3, alkyl, alkoxy, trifluoromethoxy; R2 = H, halo; R3 = H, OR5, CH2OR5, NH2 and derivs., NHCOR6 and derivs., NHCONH2 and derivs., CH2NR7R8, CH2NHCONH2 and derivs., alkoxycarbonyl, CONH2 and derivs.; or R3 forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R4 = 1,3-thiazol-2-yl; R5 = H, alkyl, alkylcarbonyl; R6 = alkyl, (CH2)mNH2 and derivs.; m = 1,2, or 3; R7, R8 = independently H, alkyl; R8 = (CH2)qOH, (CH2)qSMe; q = 2 or 3; or R7R8N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates) were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, I (m.p. = 157-158°) was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) and 1-(1,3-thiazol-2-yl)piperazine dihydrochloride (preparation given) in the presence of KI/K2CO3/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

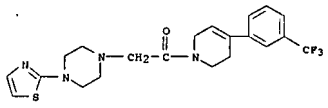
IT 634613-42-6P, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone 634613-43-7P 634613-45-9P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone Trihydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 634613-42-6 CAPLUS
CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-

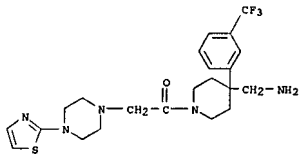
(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-43-7 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-45-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

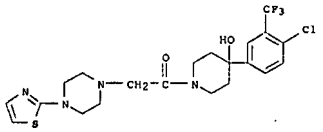


●3 HCl

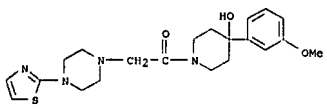
IT 634613-37-9P 634613-38-0P 634613-39-1P 634613-40-4P 634613-41-5P 634613-44-8P, 2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-3,6-dihydro-1-(2H)-pyridinyl]-1-ethanone dioxalate 634613-47-1P, 1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone 634613-48-2P, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

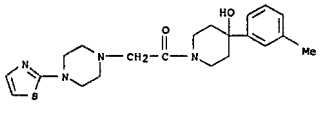
RN 634613-37-9 CAPLUS
CN 4-Piperidinol, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



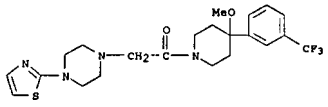
RN 634613-38-0 CAPLUS
CN 4-Piperidinol, 4-(3-methoxyphenyl)-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 634613-39-1 CAPLUS
CN 4-Piperidinol, 4-(3-methylphenyl)-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

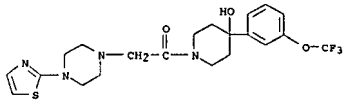


RN 634613-40-4 CAPLUS
CN Piperidine, 4-methoxy-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 634613-41-5 CAPLUS
CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-44-8 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

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CRN 634613-43-7
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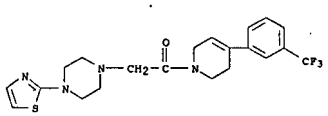
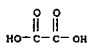
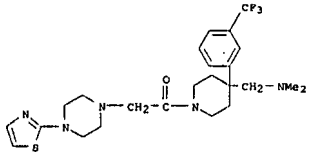


CM 2

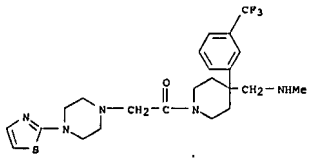
CRN 144-62-7
CMF C2 H2 O4



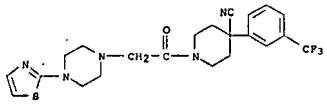
RN 634613-47-1 CAPLUS
CN 4-Piperidinemethanamine, N,N-dimethyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



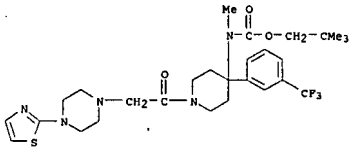
RN 634613-48-2 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IT[1] 634613-46-0P, 1-[2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile 634613-49-3P, tert-Butylmethyl [1-[2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)
RN 634613-46-0 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-49-3 CAPLUS
CN Carbamic acid, methyl[1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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CA SUBSCRIBER PRICE	-0.78	-1.56

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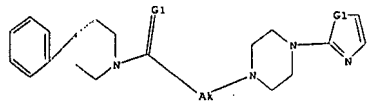

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Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 22 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.01

L8 15 SEA SSS FUL L7

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FILE COVERS 1907 - 14 Aug 2007 VOL 147 ISS 8
FILE LAST UPDATED: 13 Aug 2007 (20070813/ED)

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They are available for your review at:

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L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2003:991507 CAPLUS
DOCUMENT NUMBER:	140:42206
TITLE:	Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
INVENTOR(S):	Bono, Francoise; Bosch, Michael; Dos Santos, Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean
PATENT ASSIGNEE(S):	Sanofi-Synthelabo, Fr.
SOURCE:	PCT Int. Appl., 56 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	French
FAMILY ACC. NUM. COUNT:	2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2006167007	A1	20060727	US 2004-516808	20041203
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			WO 2003-FR1686 W	20030605

OTHER SOURCE(S):	MARPAT 140:42206
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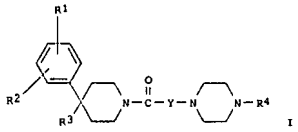

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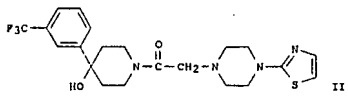


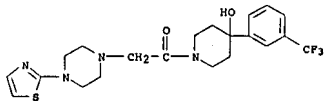
II

AB Title compds. I [wherein: Y = (CH2)n; n = 1 or 2; R1 = halo, CF3, alkyl, alkoxy, trifluoromethoxy; R2 = H, halo; R3 = H, OR5, CH2OR5, NH2 and derivs., NHCOR6 and derivs., NHCONH2 and derivs., CH2NR7R8, CH2NHCONH2 and derivs., alkoxycarbonyl, CONH2 and derivs.; or R3 forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R4 = 1,3-thiazol-2-yl; R5 = H, alkyl, alkylcarbonyl; R6 = alkyl, (CH2)mNH2 and derivs.; m = 1,2, or 3; R7, R8 = independently H, alkyl; R8 = (CH2)qOH, (CH2)qSMe; q = 2 or 3; or R7R8N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates) were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, I (m.p. = 157-158°) was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) and 1-(1,3-thiazol-2-yl)piperazine dihydrochloride (preparation given) in the presence of KI/K2CO3/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

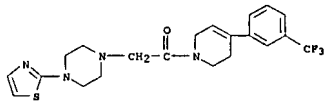
IT 634613-42-6P, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone
634613-43-7P 634613-45-9P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone Trihydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 634613-42-6 CAPLUS

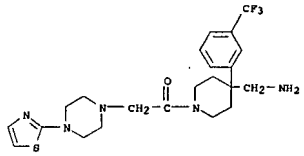
CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-43-7 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-45-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

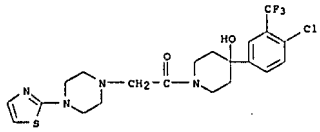


●3 HCl

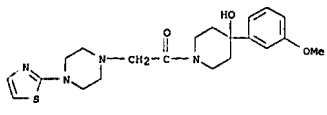
IT 634613-37-9P 634613-38-0P 634613-39-1P
634613-40-4P 634613-41-5P 634613-44-8P,
2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-3,6-dihydro-1-(2H)-pyridinyl]-1-ethanone dioxalate 634613-47-1P,
1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone 634613-48-2P,
1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-

[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

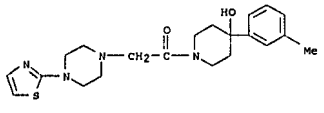
RN 634613-37-9 CAPLUS
CN 4-Piperidinol, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



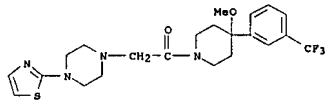
RN 634613-38-0 CAPLUS
CN 4-Piperidinol, 4-(3-methoxyphenyl)-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 634613-39-1 CAPLUS
CN 4-Piperidinol, 4-(3-methylphenyl)-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

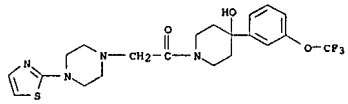


RN 634613-40-4 CAPLUS
CN Piperidine, 4-methoxy-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 634613-41-5 CAPLUS
CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-44-8 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

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CRN 634613-43-7
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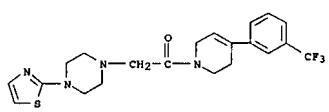
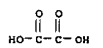
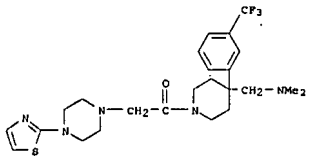


CM 2

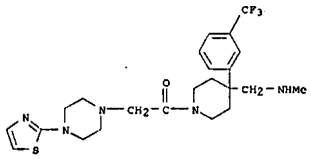
CRN 144-62-7
CMF C2 H2 O4



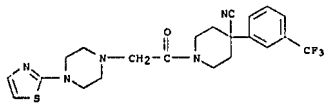
RN 634613-47-1 CAPLUS
CN 4-Piperidinemethanamine, N,N-dimethyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



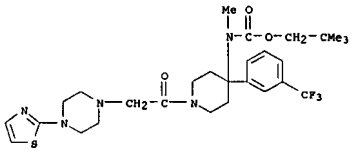
RN 634613-48-2 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IT 634613-46-0P, 1-[2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile 634613-49-3P, tert-Butylmethyl [1-[2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)
RN 634613-46-0 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-49-3 CAPLUS
CN Carbamic acid, methyl[1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.68	537.00
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.78	-2.34

FILE 'REGISTRY' ENTERED AT 09:56:27 ON 14 AUG 2007
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 AUG 2007 HIGHEST RN 944501-68-2
DICTIONARY FILE UPDATES: 13 AUG 2007 HIGHEST RN 944501-68-2

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Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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L10 HAS NO ANSWERS
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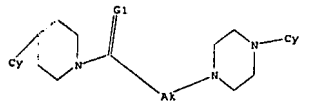

G1 O,S

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 09:56:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 225112 TO ITERATE

100.0% PROCESSED 225112 ITERATIONS 378 ANSWERS
SEARCH TIME: 00.00.02

L11 378 SEA SSS FUL L10

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	709.10
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.34

FILE 'CAPLUS' ENTERED AT 09:57:04 ON 14 AUG 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 14 Aug 2007 VOL 147 ISS 8
FILE LAST UPDATED: 13 Aug 2007 (20070813/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.

They are available for your review at:

http://www.cas.org/infopolicy.html

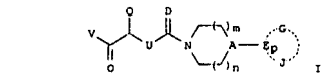
=> s l11 full
L12 22 L11

=> d ibib abs hitstr tot

L12 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:705719 CAPLUS
DOCUMENT NUMBER: 147:118256
TITLE: Preparation of piperidine-1-carboxamide derivatives and spirocycles thereof as antagonists of calcitonin gene-related peptide receptors
INVENTOR(S): Chaturvedula, Prasad V.; Chen, Ling; Civiello, Rita; Degnan, Andrew P.; Dubowchik, Gene M.; Han, Xiaojun; Jiang, Xiang Jun J.; Macor, John E.; Poindexter, Graham S.; Tora, George O.; Luo, Guanglin
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 198pp., Cont.-in-part of U.S. Ser. No. 729,155.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007149503	A1	20070628	US 2007-620308	20070105
US 2004204397	A1	20041014	US 2003-729155	20031205
US 7220862	B2	20070522		
PRIORITY APPLN. INFO.:			US 2003-729155	A2 20031205
			US 2002-386138P	P 20020605
			US 2002-388617P	P 20020613
			US 2002-389870P	P 20020619
			US 2002-393200P	P 20020701
			US 2002-413534P	P 20020925
			US 2003-445523	A2 20030527

GI



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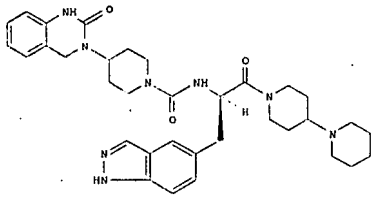
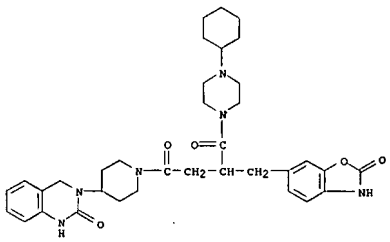


II

AB The title compds. [I; V = N(R1)(R2) or OR4; R4 = H, C1-6 alkyl, C1-4 haloalkyl, etc.; R1, R2 = independently H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, -C1-6alkyleneamino(C1-3alkyl)2, C3-7 cycloalkyl, Ph, azetidinyl, adamantyl, tetrahydrofuranyl, furanyl, dioxolanyl, thienyl, tetrahydrothienyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, imidazolyl, imidazolinyl, imidazolidinyl, etc.; R1 and R2 optionally and independently contain 1 or 2 carbonyls or optionally and independently interrupted from the nitrogen to which C1-3 alkylene or C1-3 alkylidene; or NR1R2 together form each (un)substituted azetidinyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, imidazolinyl, imidazolidinyl, pyrazolinyl, pyrazolidinyl, azepinyl, or diazepinyl, or spirocyclic ring, etc.; Q = (Sy)aR3, NH(Sy)aR3, NHC(O)(Sy)aR3, NHC(O)O(Sy)aR3, NHC(O)NH(Sy)aR3, O(Sy)aR3, (Sy)aNHR3, etc.; wherein Sy = C1-3 alkylene or C1-3 alkylidene; a = 0 or 1; U = CH2, NH; R3 = (i) heterocycle having two 5 to 7 membered fused rings, (ii) 4 to 6 membered heterocycle containing 1-3 heteroatoms selected from O, N and S optionally containing 1 to 2 carbonyls, (iii) C3-7 cycloalkyl, etc.; D = O, N(CN) or N(SO2-C1-3 alkyl); A = C; m, n = 1; E = N, CH, C; p = 0; G, J and E together form a fused heterocycle having two 5- to 7-membered fused rings optionally containing 1 or 2 carbonyls] or pharmaceutically acceptable salts thereof are prepared These compds. are antagonists of calcitonin gene-related peptide receptors (CGRP receptor) and are useful in therapy for treatment of neurogenic vasodilation, neurogenic inflammation, migraine and other headaches, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD), and other conditions the treatment of which can be effected by the antagonism of CGRP-receptors. Thus, (R)-2-[[[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)piperidin-1-yl]carbonyl]amino]-3-[1-[[2-(trimethylsilanyl)ethyl]sulfonyl]-1H-indazol-5-yl]propionic acid was condensed with 4-piperidinopiperidine using PyBOP in CH2Cl2 at room temperature
for 16 h followed by treatment with CsF at 80° in MeCN to give (R)-4-(2-Oxo-1,4-dihydro-2H-quinazolin-3-yl)piperidine-1-carboxylic acid [2-[1,4']bipiperidinyl-1'-yl-1-(1H-indazol-5-ylmethyl)-2-oxoethyl]amide (II). II showed IC50 of ≤10 nM for inhibiting the binding of [125I]CGRP to homogenate of SK-NMC cells.

IT 773886-69-4P, 1-(4-Cyclohexylpiperazin-1-yl)-2-[(2-oxo-2,3-dihydrobenzoxazol-6-yl)methyl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)piperidin-1-yl]butane-1,4-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine-1-carboxamide derivs. and spirocyclic compds. thereof as antagonists of calcitonin gene-related peptide receptors)
RN 773886-69-4 CAPLUS
CN Piperazine, 1-cyclohexyl-4-[2-[(2,3-dihydro-2-oxo-6-benzoxazolyl)methyl]-4-[4-(1,2-dihydro-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]- (9CI) (CA INDEX NAME)



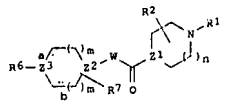
L12 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:143519 CAPLUS
DOCUMENT NUMBER: 146:229382
TITLE: Preparation of dipiperazinyl ketones and related analogues as modulators of histamine H3 receptor binding
INVENTOR(S): Xie, Linghong; Ochterski, Joseph W.; Gao, Yang; Han, Bingsong; Caldwell, Timothy M.; Xu, Yuelian; Peterson, John M.; Ge, Ping; Ohliger, Robert
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 279pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007016496	A2	20070208	WO 2006-US29761	20060728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,				

MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2007049571	A1	20070301	US 2006-495986	20060728
PRIORITY APPLN. INFO.:			US 2005-704722P	P 20050802

OTHER SOURCE(S): MARPAT 146:229382
GI



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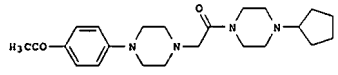
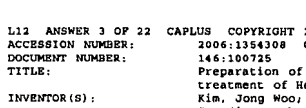


II

AB Title compds. I [Z1 and Z2 independently = N or CRa wherein Ra = H, OH, halo, alkyl, etc.; Z3 = N or CRb wherein Rb = absent, H, OH, alkyl, etc.; bonds a and b independently represent single or double bond such that if Z3 = N, then bond a is single bond and at least on oe bond a or bond b = single bond; W = CR3R4, NR5, COCR3R4, CO2R3R4; R3 and R4 independently = H, alkyl, haloalkyl, etc.; R5 = H, alkyl, haloalkyl, etc.; each m independently = 0-2, such that neither m = 0 if both Z2 and Z3 = N; n = 0-2; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = 0-4 substituents chosen from alkyl and groups that are taken together to form alkylene bridge; R6 = (un)substituted alkanoyl, alkoxycarbonyl, alkenyl, etc.; R7 = 0-4 substituents chosen from alkyl and groups that are taken together to form alkylene bridge], and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of histamine H3 receptor binding. Thus, e.g., II was prepared by acetylation of 1-cyclopentylpiperazine with bromoacetyl bromide followed by N-alkylation of 1-(4-piperazin-1-ylphenyl)ethanone. Details for bioassays are described (no data). I may generally be used to modulate ligand binding to histamine H3 receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of disorders in humans, domesticated companion animals and livestock animals. Pharmaceutical comps. and therapeutic methods are provided, as are methods for using such ligands for detecting histamine H3 receptors (e.g., receptor localization studies).

IT 923932-69-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of dipiperazinyl ketones and related analogs as histamine H3 receptor modulators)
RN 923932-69-8 CAPLUS
CN Ethanone, 1-[1,4'-bipiperidin]-1'-yl-2-(4-cyclopentyl-1-piperazinyl)- (CA INDEX NAME)

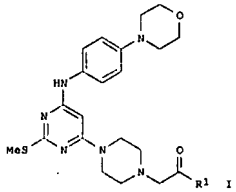


L12 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1354308 CAPLUS
DOCUMENT NUMBER: 146:100725
TITLE: Preparation of anilino pyrimidine derivatives for treatment of Hepatitis C virus
INVENTOR(S): Kim, Jong Woo; Lee, Sang Wook; Lee, Geun Hyung; Han, Jae Jin; Park, Sang Jin; Park, Eul Yong; Shin, Joong Chul
PATENT ASSIGNEE(S): B & C Biopharm. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 49pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006137706	A1	20061228	WO 2006-KR2416	20060622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:			KR 2005-54885	A 20050624

OTHER SOURCE(S): MARPAT 146:100725
GI



I

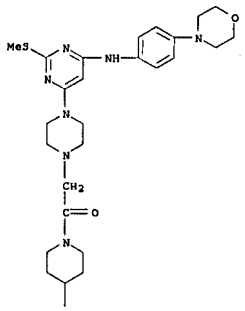
AB Title compds. represented by the formula I [wherein R1 = -N(R2)-(CH2)n-R3, 4-R4-(Het)-1-yl or (un)substituted heteroaryl; R2 = H, benzyl or alkyl; R3 = H, halo, OH, etc.; R4 = H, carbamoyl, alkyl, etc.; n = 0-4; Het = piperazine or piperidine; and pharmaceutically acceptable salts thereof] were prepared. For example, I (R1 = MeNH) was provided in a multi-step synthesis starting from the reaction of 4,6-dichloro-2-(methylthio)pyrimidine with 4-(morpholino)aniline. The prepared title compds. showed inhibitory effect on activity of HCV RNA polymerase in vitro and low toxicity, thus can be advantageously used as a therapeutic or prophylactic agent of hepatitis C..

IT 917594-61-7P, 2-Methylthio-6-[4-(morpholino)anilino]-4-[4-[[[4-(1-pyrrolidinyl)piperidino]carbonyl]methyl]piperazin-1-yl]pyrimidine
917594-62-8P, 2-Methylthio-6-[4-(morpholino)anilino]-4-[4-[[[4-(piperidino)piperidino]carbonyl]methyl]piperazin-1-yl]pyrimidine
917594-63-9P, 2-Methylthio-6-[4-(morpholino)anilino]-4-[4-[[[4-(morpholino)piperidino]carbonyl]methyl]piperazin-1-yl]pyrimidine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anilino pyrimidine derivs. for treatment of Hepatitis C virus)

RN 917594-61-7 CAPLUS

CN Ethanone, 2-[4-[2-(methylthio)-6-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]-1-piperazinyl]-1-[4-(1-pyrrolidinyl)-1-piperidinyl]- (CA INDEX NAME)

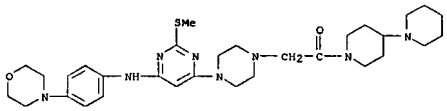
PAGE 1-A



PAGE 2-A

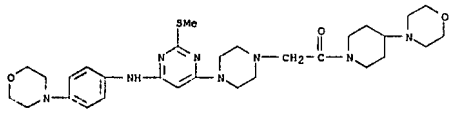
RN 917594-62-8 CAPLUS

CN Ethanone, 1-[1,4'-bipiperidin]-1'-yl-2-[4-[2-(methylthio)-6-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]-1-piperazinyl]- (CA INDEX NAME)



RN 917594-63-9 CAPLUS

CN Ethanone, 2-[4-[2-(methylthio)-6-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]-1-piperazinyl]-1-[4-(4-morpholinyl)-1-piperidinyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1173484 CAPLUS
DOCUMENT NUMBER: 145:489283
TITLE: N-Acylpiperidines and related compounds as CGRP-antagonists, methods for preparing them, pharmaceutical compositions and their use as pharmaceutical compositions
INVENTOR(S): Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Stenkamp, Dirk; Santagostino, Marco; Paleari, Fabio; Schaenzle, Gerhard; Arndt, Kirsten; Doods, Henri
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 156pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006252931	A1	20061109	US 2006-277177	20060322
WO 2005092880	A1	20051006	WO 2005-EP3094	20050323
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2005103037	A2	20051103	WO 2005-EP4104	20050418
WO 2005103037	A3	20060112		
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RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1770091	A1	20070404	EP 2005-21283 20050929
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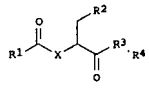
PRIORITY APPLN. INFO.:	AR 2005-101139	A 20050323
	WO 2005-EP3094	A 20050323
	WO 2005-EP4104	A 20050418
	EP 2005-21283	A 20050929
	DE 2004-102004015723A	20040329
	DE 2004-102004019492A	20040422

OTHER SOURCE(S): MARPAT 145:489283

GI



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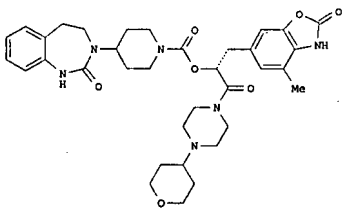

II

AB The invention relates to the CGRP-antagonists of general formula I, the tautomers, the isomers, the diastereomers, the enantiomers, the hydrates, mixts. and salts thereof and the hydrates of the salts, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases, as well as those compds. of general formula I in which one or more hydrogen atoms are replaced by deuterium, pharmaceutical compns. containing these compds., the use thereof and processes for the preparation thereof. Compds. of formula I wherein X is CH2, NH, C1-3 alkyl-N, O and S; R1 is (spiro)substituted piperidine and oxodihydrothienopyrimidinyl; R2 is (un)substituted (un)fused aryl, and (un)substituted (un)fused pyridine; R3 is (un)substituted piperidine, (un)substituted piperazine, and (un)substituted diazepine; R4 is (un)substituted 4- to 7-membered oxycycloalkyl; and their tautomers and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cyclization of

2-amino-3-methylphenol with CDI; the resulting 4-methyl-3H-benzoxazole-2-one underwent bromination to give 6-bromo-4-methyl-3H-benzoxazol-2-one, which underwent coupling with Me 2-acetylaminoacrylate to give Me 2-acetylamino-3-(4-methyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)acrylate, which underwent hydrolysis to give 3-(4-methyl-2-oxo-2,3-dihydrobenzoxazole-6-yl)-2-oxopropionic acid, which underwent asym. reduction to give (R)-2-hydroxy-3-(4-methyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)propionic acid, which underwent esterification to give the corresponding Me ester, which reacted with 4-nitrophenyl chloroformate and 3-(piperidin-4-yl)-1,3,4,5-tetrahydro-1,3-benzodiazepin-2-one followed by hydrolysis to give (R)-1-carboxy-2-(4-methyl-2-oxo-2,3-dihydrobenzoxazol-6-yl)ethyl 4-(2-oxo-1,3,4,5-tetrahydro-1,3-benzodiazepin-3-yl)piperidine-1-carboxylate, which underwent amidation with 1-(tetrahydropyran-4-yl)piperazine to give compound II. All the invention compds. were evaluated for their CGRP binding affinity. The tested compds. exhibited IC50 values ≥ 10 000 nM.

IT 910573-18-1P 910573-24-9P 910573-27-2P
910573-30-7P 910573-33-0P 910573-39-6P
910573-45-4P 910573-47-6P 910573-50-1P
910573-53-4P 910573-59-0P 910573-68-1P
910573-71-6P 910573-74-9P 910573-80-7P
910573-86-3P 910573-88-5P 910573-93-2P
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910574-23-1P 910574-26-4P 910574-29-7P
910574-32-2P 910574-34-4P 910574-48-0P
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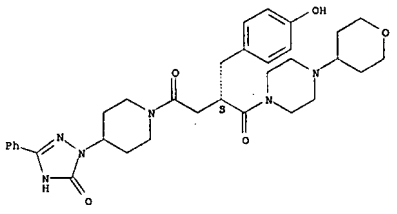
910574-72-0P 910574-76-4P 910574-83-3P
910574-86-6P 910574-89-9P 910574-92-4P
910575-15-4P 910575-16-5P 910575-17-6P
910575-24-5P 910575-25-6P 910575-26-7P
910575-31-4P 910575-34-7P 914381-60-5P
914381-61-6P 914381-81-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-acylpiperidines and related compds. as CGRP-antagonists useful as therapeutic agents)

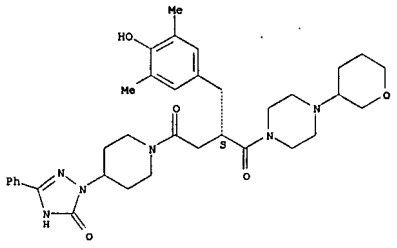
RN 910573-18-1 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxyphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



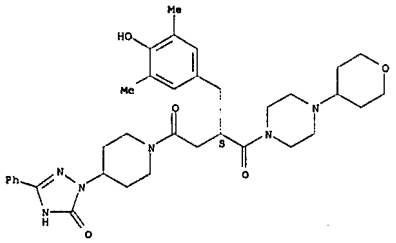
RN 910573-24-9 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



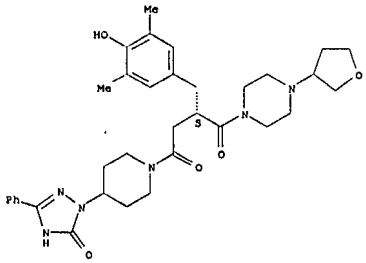
RN 910573-27-2 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



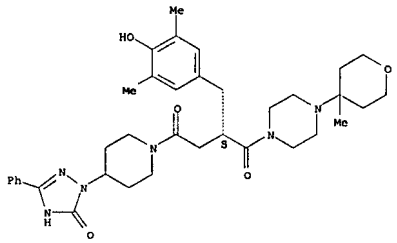
RN 910573-30-7 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-3-furanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



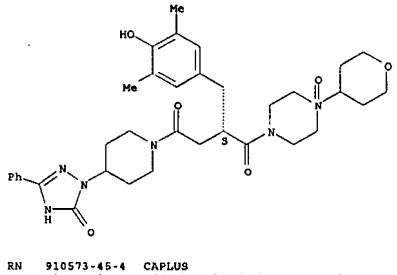
RN 910573-33-0 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-4-methyl-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



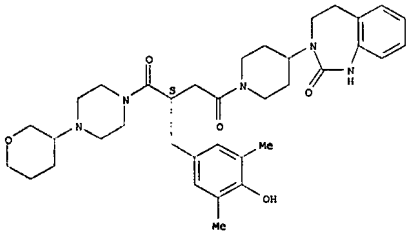
RN 910573-39-6 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)-, 4-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



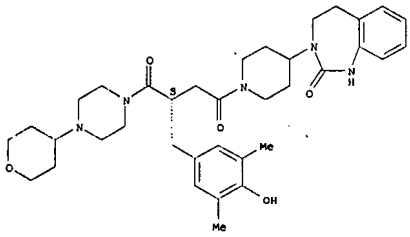
RN 910573-45-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



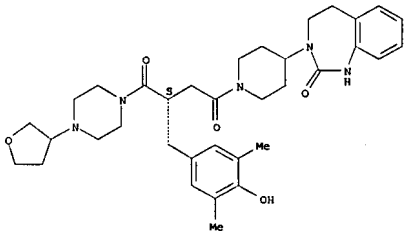
RN 910573-47-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



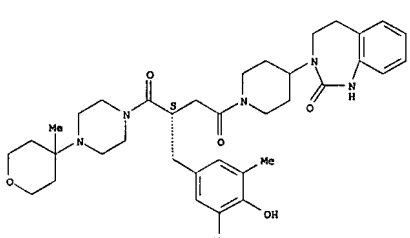
RN 910573-50-1 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-3-furanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



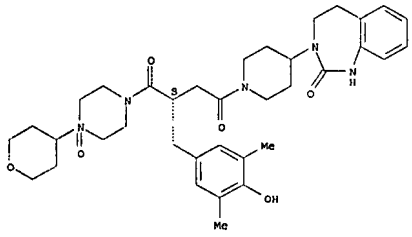
RN 910573-53-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-4-methyl-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



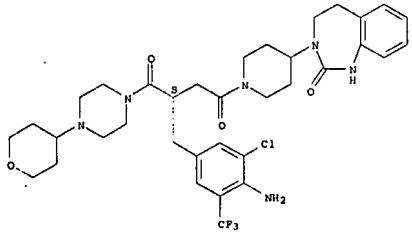
RN 910573-59-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)-, 4-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



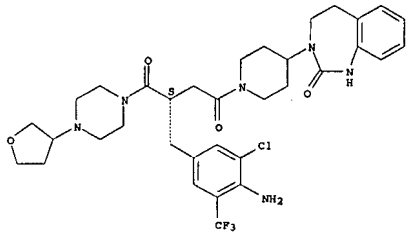
RN 910573-68-1 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



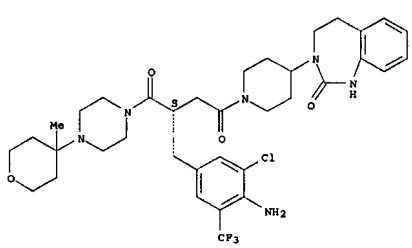
RN 910573-71-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-3-furanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



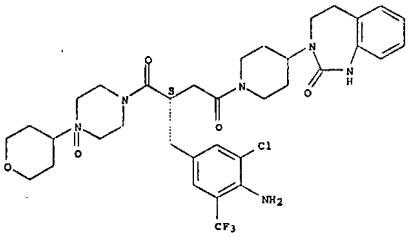
RN 910573-74-9 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-4-methyl-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



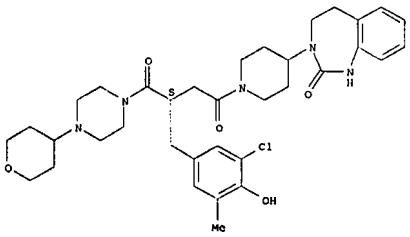
RN 910573-80-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)-, 4-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



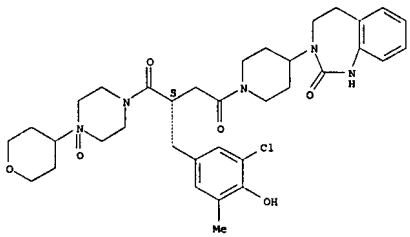
RN 910573-86-3 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



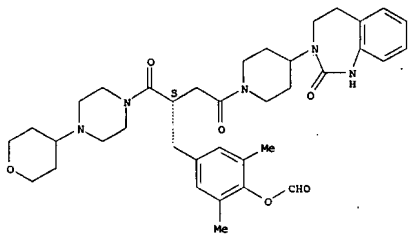
RN 910573-88-5 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)-, 4-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



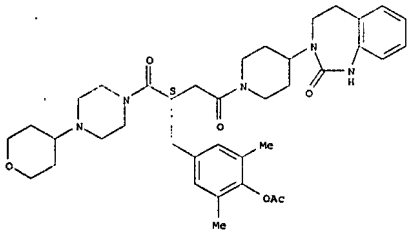
RN 910573-93-2 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(formyloxy)-3,5-dimethylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



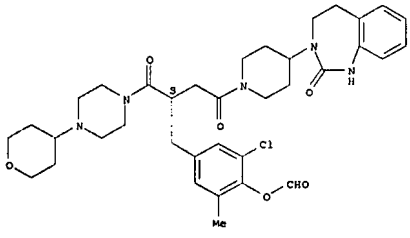
RN 910573-94-3 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3,5-dimethylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



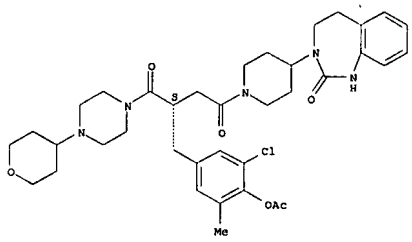
RN 910573-97-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-(formyloxy)-5-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



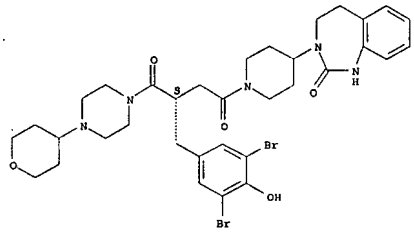
RN 910573-98-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3-chloro-5-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



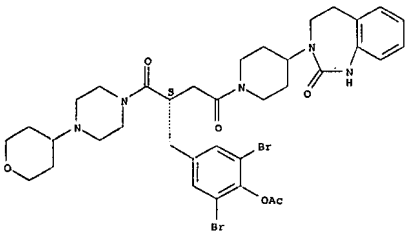
RN 910574-02-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3,5-dibromo-4-hydroxyphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



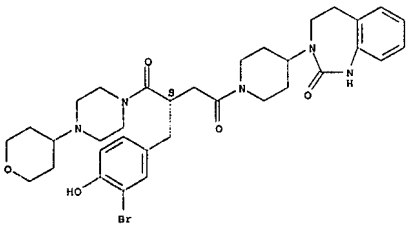
RN 910574-08-2 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3,5-dibromophenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



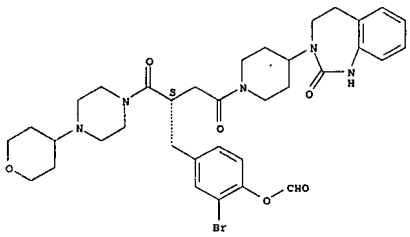
RN 910574-11-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3-bromo-4-hydroxyphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



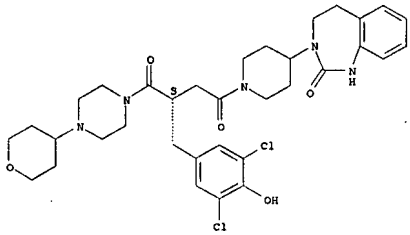
RN 910574-14-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-bromo-4-(formyloxy)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



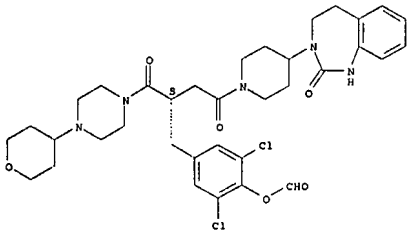
RN 910574-17-3 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3,5-dichloro-4-hydroxyphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



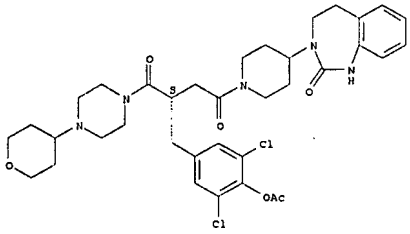
RN 910574-20-8 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3,5-dichloro-4-(formyloxy)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



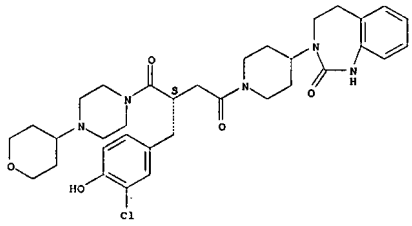
RN 910574-23-1 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3,5-dichlorophenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



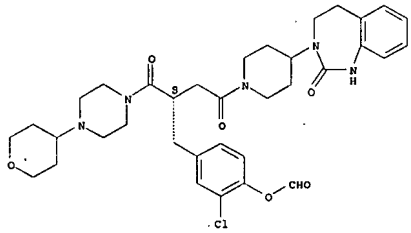
RN 910574-26-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3-chloro-4-hydroxyphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



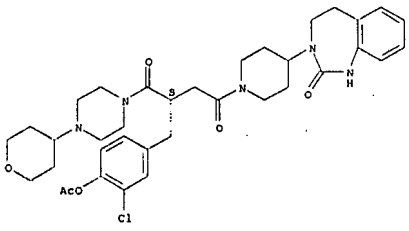
RN 910574-29-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-(formyloxy)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



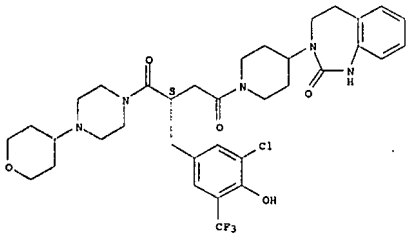
RN 910574-32-2 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3-chlorophenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



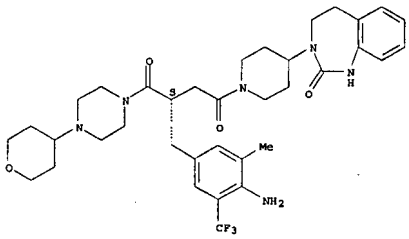
RN 910574-34-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



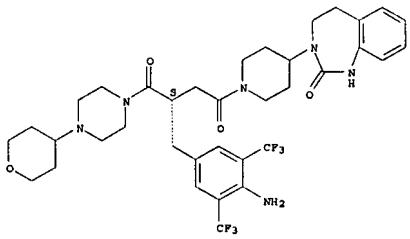
RN 910574-48-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-methyl-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



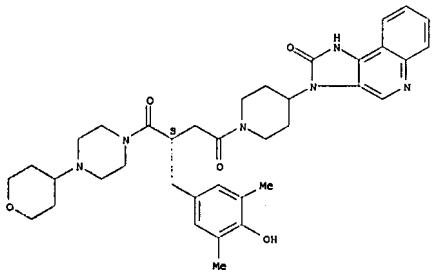
RN 910574-54-8 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3,5-bis(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



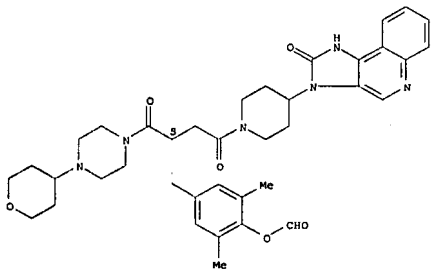
RN 910574-65-1 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(1,2-dihydro-2-oxo-3H-imidazo[4,5-c]quinolin-3-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



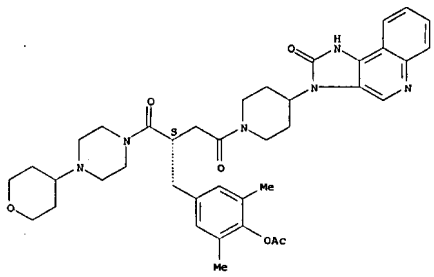
RN 910574-69-5 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(1,2-dihydro-2-oxo-3H-imidazo[4,5-c]quinolin-3-yl)-1-piperidinyl]-2-[(4-(formyloxy)-3,5-dimethylphenyl]methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



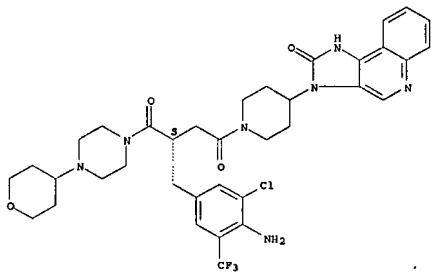
RN 910574-72-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3,5-dimethylphenyl]methyl]-4-[4-(1,2-dihydro-2-oxo-3H-imidazo[4,5-c]quinolin-3-yl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



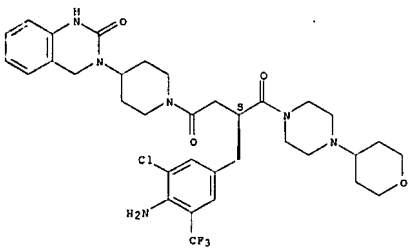
RN 910574-76-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-4-[4-(1,2-dihydro-2-oxo-3H-imidazo[4,5-c]quinolin-3-yl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



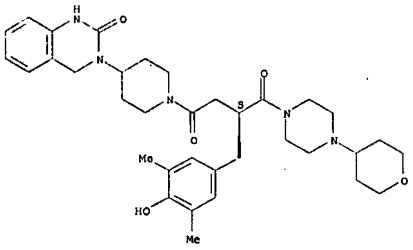
RN 910574-83-3 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-4-[4-(1,2-dihydro-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



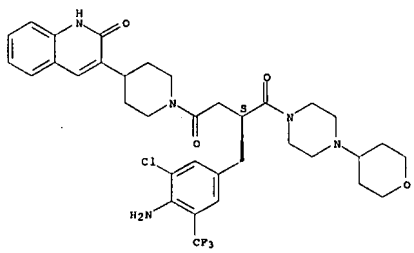
RN 910574-86-6 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(1,2-dihydro-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



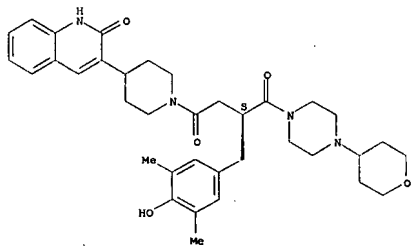
RN 910574-89-9 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-4-[4-(1,2-dihydro-2-oxo-3-quinolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



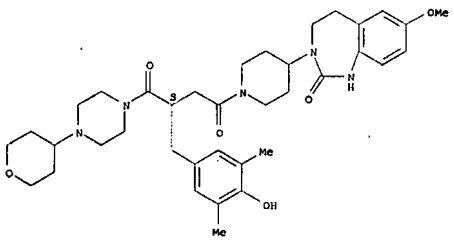
RN 910574-92-4 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(1,2-dihydro-2-oxo-3-quinolinyl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



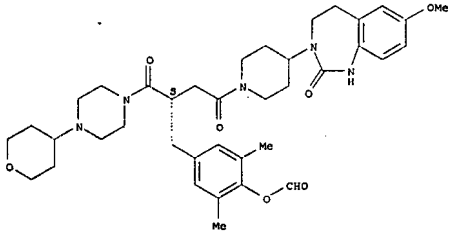
RN 910575-15-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-7-methoxy-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



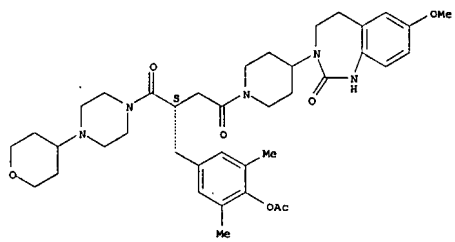
RN 910575-16-5 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(formyloxy)-3,5-dimethylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-7-methoxy-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



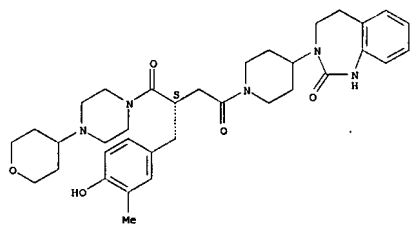
RN 910575-17-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3,5-dimethylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-7-methoxy-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



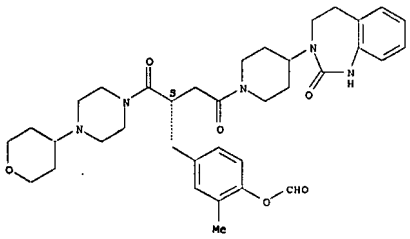
RN 910575-24-5 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3-methylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



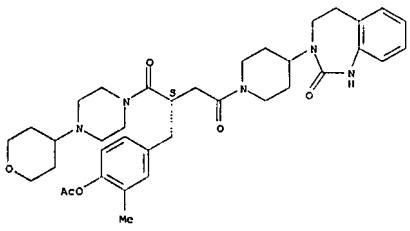
RN 910575-25-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(formyloxy)-3-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



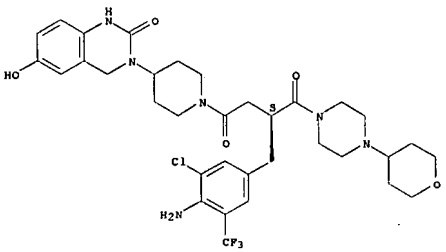
RN 910575-26-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



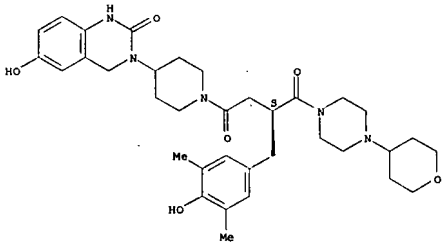
RN 910575-31-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-4-[4-(1,2-dihydro-6-hydroxy-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



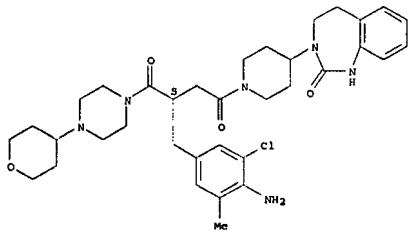
RN 910575-34-7 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(1,2-dihydro-6-hydroxy-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



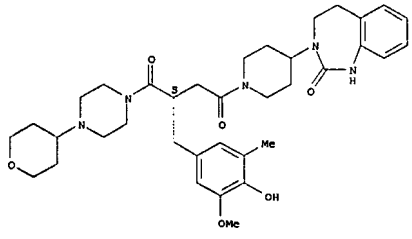
RN 914381-60-5 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-amino-3-chloro-5-methylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



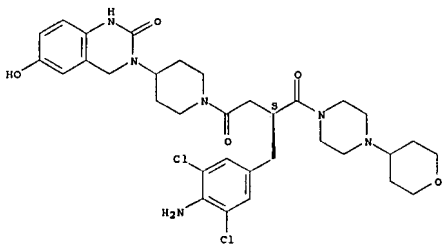
RN 914381-61-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3-methoxy-5-methylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 914381-81-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-amino-3,5-dichlorophenyl)methyl]-4-[4-(1,4-dihydro-6-hydroxy-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1005390 CAPLUS
DOCUMENT NUMBER: 145:356814
TITLE: Preparation of 2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-ylpiperidines and related compounds as CGRP receptor antagonists
INVENTOR(S): Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Stenkamp, Dirk; Santagostino, Marco; Paleari, Fabio; Dooda, Henri; Arndt, Kirsten; Schaenzle, Gerhard
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 231pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006100009	A1	20060928	WO 2006-EP2515	20060318
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PRIORITY APPLN. INFO.: WO 2005-EP3094 A 20050323
WO 2005-EP4104 A 20050418
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DE 2004-102004015723A 20040329
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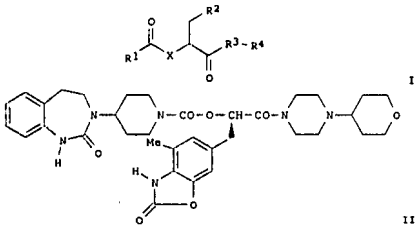

I

II

AB Title compds. I [X = CH2, NH, O, etc.; R1 = substituted 2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-ylpiperidines, etc.; R2 = 5-methylquinoxalines, 8-methylimidazo[1,2-a]pyridines, etc.; R3 = substituted piperidines, piperazines, etc.; R4 = 4 to 7-membered

ocicycloalkyl ring with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, benzodiazepinylpiperidine II was prepared from 5-amino-m-cresol in 8-steps. In CGRP receptor inhibition assays, compds. I exhibited IC50 values ≤ 10000 nM.

IT 910573-18-1P 910573-24-9P 910573-27-2P
910573-30-7P 910573-33-0P 910573-39-6P
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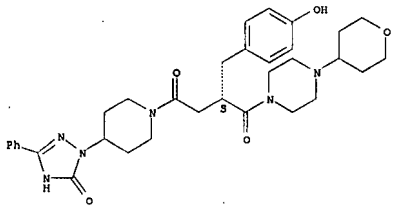
910575-25-6P 910575-26-7P 910575-31-4P
910575-34-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxotetrahydrobenzodiazepinylpiperidines and related compds. as CGRP receptor antagonists)

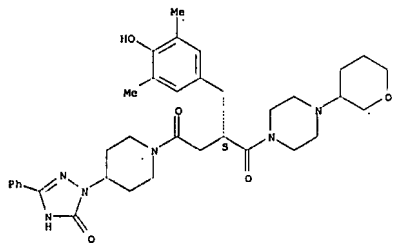
RN 910573-18-1 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxyphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



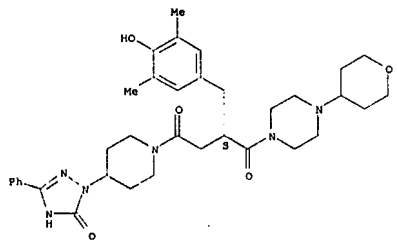
RN 910573-24-9 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



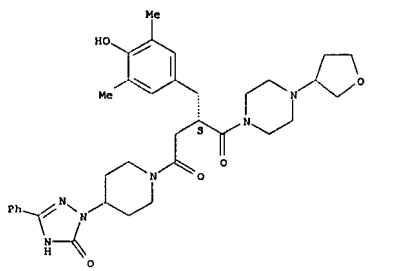
RN 910573-27-2 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 910573-30-7 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-3-furanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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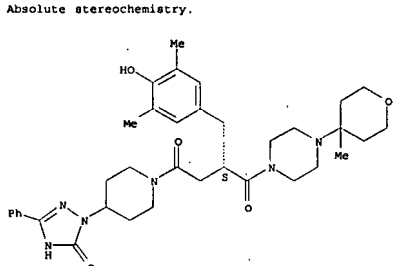
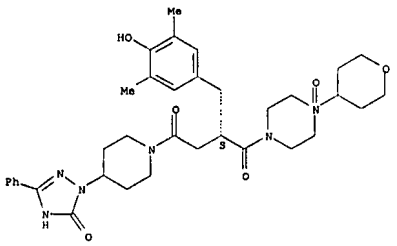
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-4-methyl-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



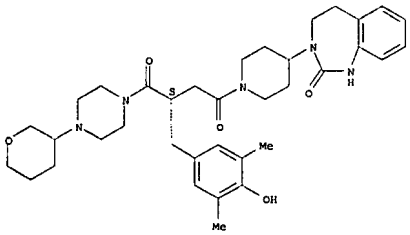
RN 910573-39-6 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(2,5-dihydro-5-oxo-3-phenyl-1H-1,2,4-triazol-1-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)-, 4-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



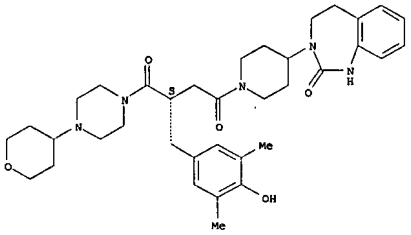
RN 910573-45-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



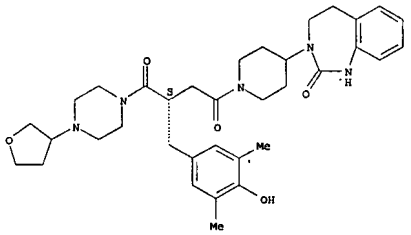
RN 910573-47-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



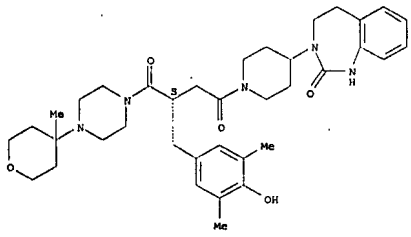
RN 910573-50-1 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-3-furanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



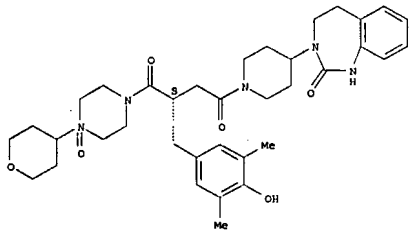
RN 910573-53-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-4-methyl-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



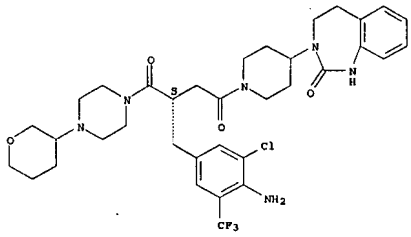
RN 910573-59-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)-, 4-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



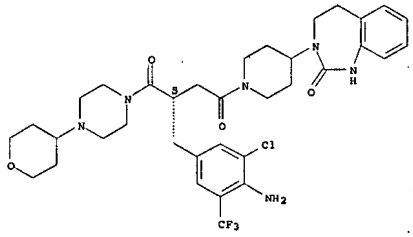
RN 910573-65-8 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



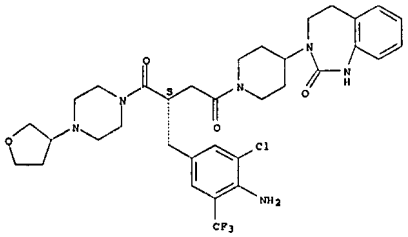
RN 910573-68-1 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



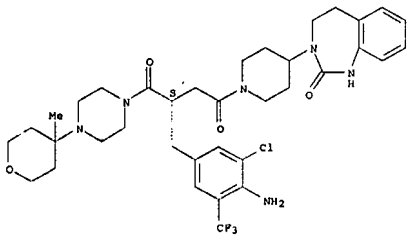
RN 910573-71-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-3-furanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



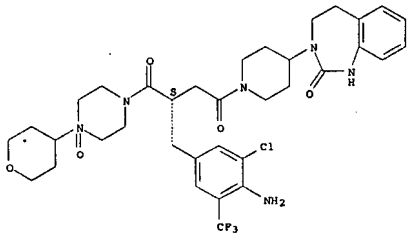
RN 910573-74-9 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-4-methyl-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



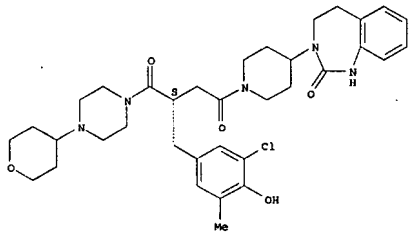
RN 910573-80-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)-, 4-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



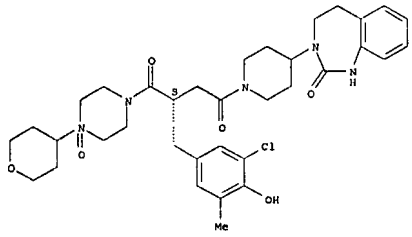
RN 910573-86-3 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3-chloro-4-hydroxy-5-methylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



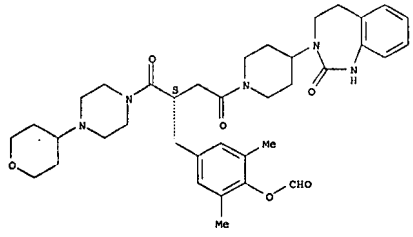
RN 910573-88-5 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3-chloro-4-hydroxy-5-methylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)-, 4-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



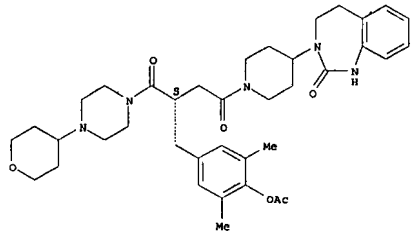
RN 910573-93-2 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(formyloxy)-3,5-dimethylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



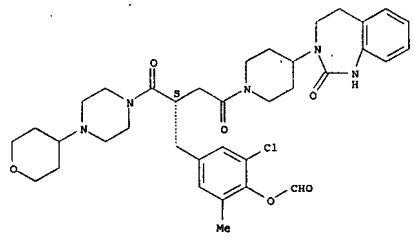
RN 910573-94-3 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3,5-dimethylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



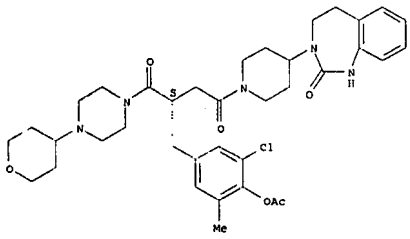
RN 910573-97-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3-chloro-4-(formyloxy)-5-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



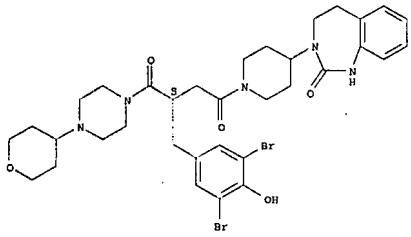
RN 910573-98-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3-chloro-5-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



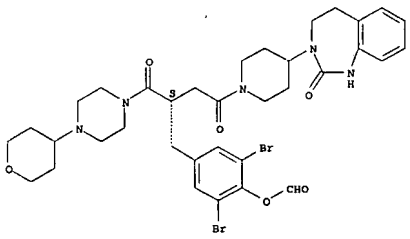
RN 910574-02-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3,5-dibromo-4-hydroxyphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



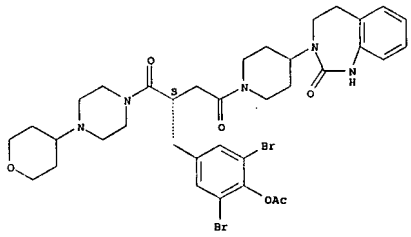
RN 910574-05-9 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3,5-dibromo-4-(formyloxy)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



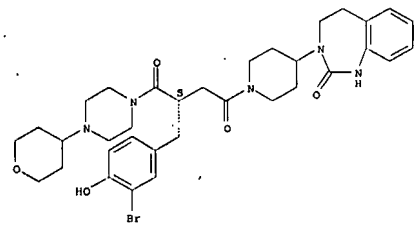
RN 910574-08-2 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3,5-dibromophenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



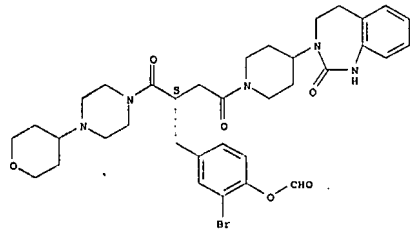
RN 910574-11-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3-bromo-4-hydroxyphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



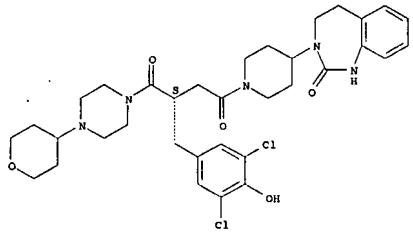
RN 910574-14-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3-bromo-4-(formyloxy)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



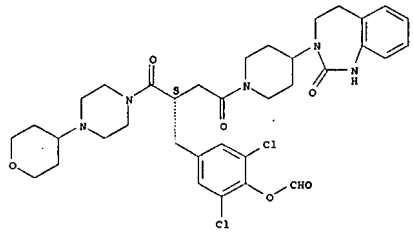
RN 910574-17-3 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3,5-dichloro-4-hydroxyphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



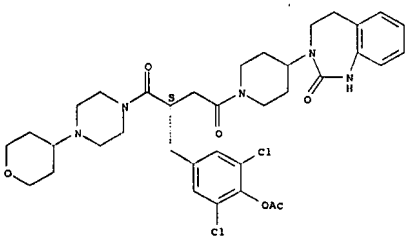
RN 910574-20-8 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3,5-dichloro-4-(formyloxy)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



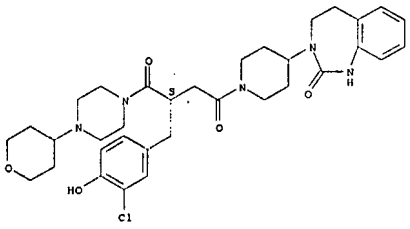
RN 910574-23-1 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3,5-dichlorophenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



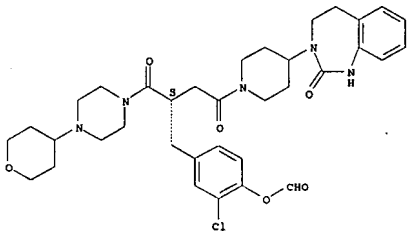
RN 910574-26-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3-chloro-4-hydroxyphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



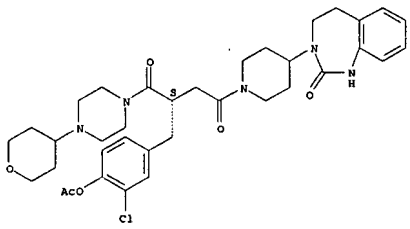
RN 910574-29-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-(formyloxy)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



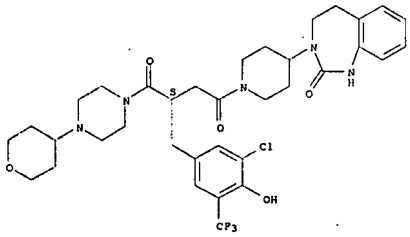
RN 910574-32-2 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3-chlorophenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



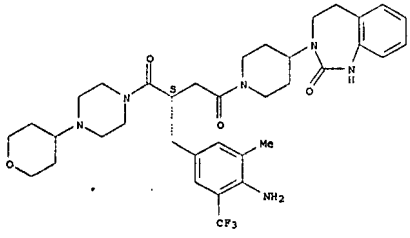
RN 910574-34-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



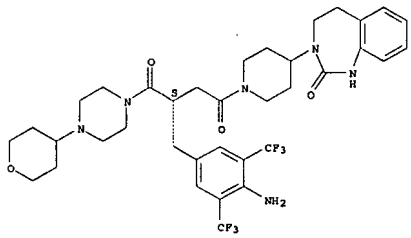
RN 910574-48-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-methyl-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



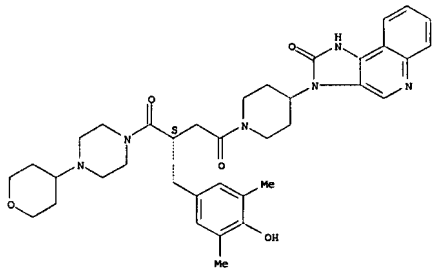
RN 910574-54-8 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3,5-bis(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



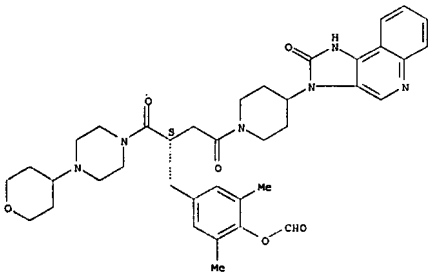
RN 910574-65-1 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(1,2-dihydro-2-oxo-3H-imidazo[4,5-c]quinolin-3-yl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



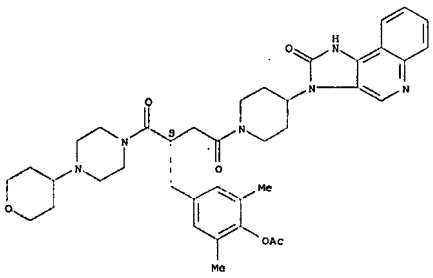
RN 910574-69-5 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(1,2-dihydro-2-oxo-3H-imidazo[4,5-c]quinolin-3-yl)-1-piperidinyl]-2-[[4-(formyloxy)-3,5-dimethylphenyl]methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



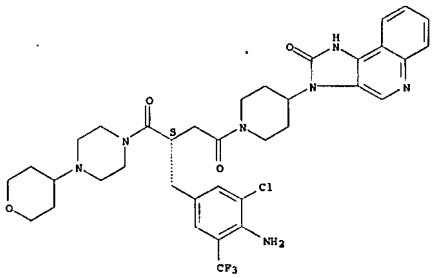
RN 910574-72-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3,5-dimethylphenyl]methyl]-4-[4-(1,2-dihydro-2-oxo-3H-imidazo[4,5-c]quinolin-3-yl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



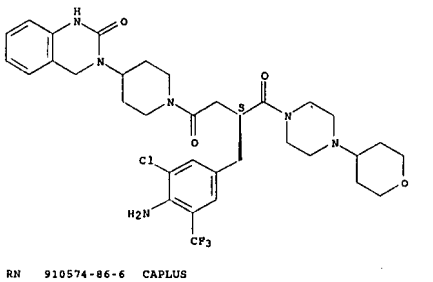
RN 910574-76-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-4-[4-(1,2-dihydro-2-oxo-3H-imidazo[4,5-c]quinolin-3-yl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



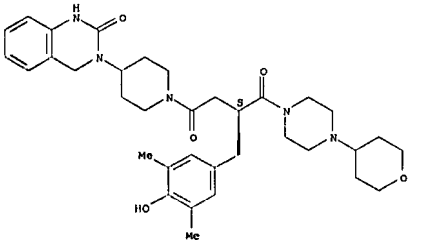
RN 910574-83-3 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-4-[4-(1,2-dihydro-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



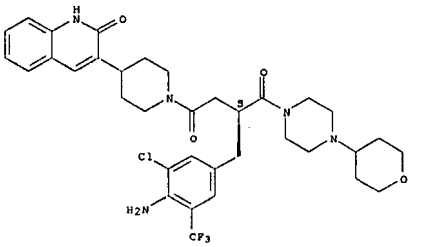
RN 910574-86-6 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(1,2-dihydro-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



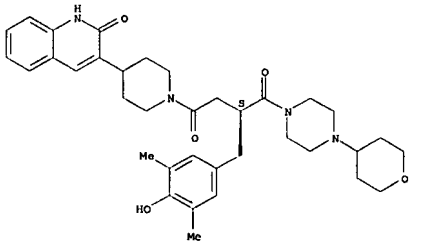
RN 910574-89-9 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-4-[4-(1,2-dihydro-2-oxo-3-quinolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



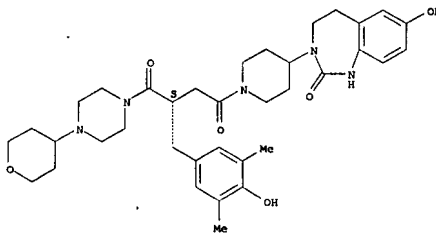
RN 910574-92-4 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(1,2-dihydro-2-oxo-3-quinolinyl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



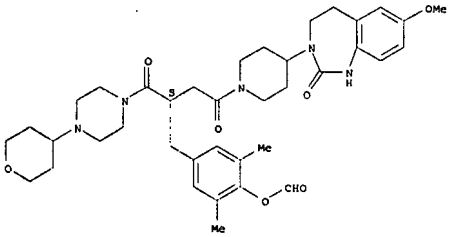
RN 910575-15-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-7-methoxy-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



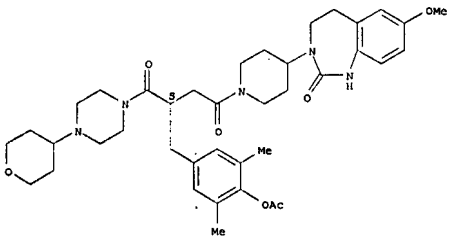
RN 910575-16-5 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(formyloxy)-3,5-dimethylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-7-methoxy-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



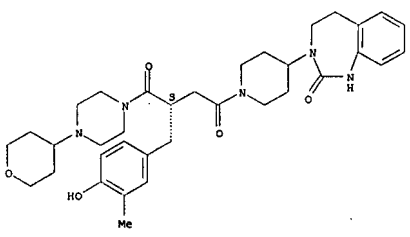
RN 910575-17-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3,5-dimethylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-7-methoxy-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



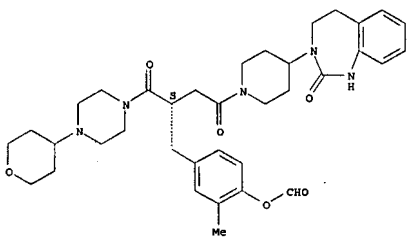
RN 910575-24-5 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-hydroxy-3-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



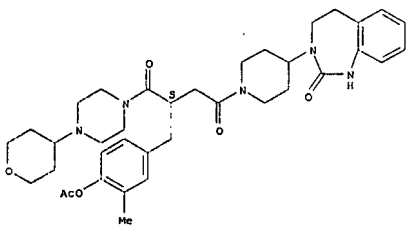
RN 910575-25-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(formyloxy)-3-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



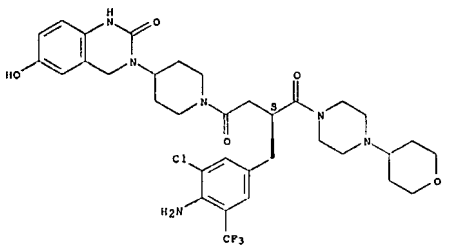
RN 910575-26-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-(acetyloxy)-3-methylphenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



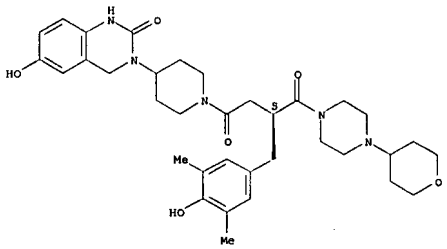
RN 910575-31-4 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-4-[4-(1,2-dihydro-6-hydroxy-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 910575-34-7 CAPLUS
CN Piperazine, 1-[(2S)-4-[4-(1,2-dihydro-6-hydroxy-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(tetrahydro-2H-pyran-4-yl)-. (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:656692 CAPLUS
DOCUMENT NUMBER: 145:96491
TITLE: Use of CGRP antagonists in treatment and prevention of hot flushes in prostate cancer patients
INVENTOR(S): Rudolf, Klaus; Doods, Henri; Mueller, Stephan Georg; Zamponi, Annette; Lustenberger, Philipp; Stenkamp, Dirk; Arndt, Kirsten; Schaenzle, Gerhard; Brickl, Rolf-Stefan
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069754	A1	20060706	WO 2005-EP13974	20051223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102004063755	A1	20060720	DE 2004-102004063755	20041229
US 2006154921	A1	20060713	US 2005-301422	20051213
PRIORITY APPLN. INFO.:			DE 2004-102004063755A	20041229

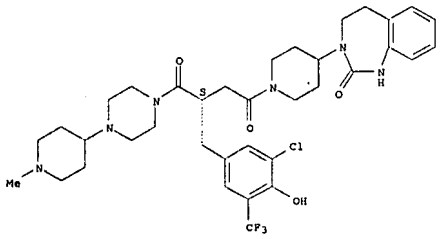
AB The invention discloses a method for treatment or prevention of hot flushes in men who underwent castration, e.g. due to androgen ablation treatment in prostate cancer therapy, comprising administration of an effective amount of a selected CGRP antagonist to the patient, as well as the use of the active compds. for the manufacture of a pharmaceutical composition
intended to be used in this method.

IT 894071-73-9 894071-73-9D, salts
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CGRP antagonists for treatment and prevention of hot flushes in prostate cancer patients)

RN 894071-73-9 CAPLUS

CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

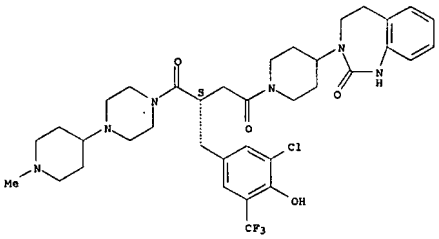
Absolute stereochemistry.



RN 894071-73-9 CAPLUS

CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:636811 CAPLUS
DOCUMENT NUMBER: 145:76714
TITLE: Use of selected CGRP antagonists for combating menopausal hot flushes
INVENTOR(S): Rudolf, Klaus; Doods, Henri; Mueller, Stephan Georg; Zamponi, Annette; Lustenberger, Philipp; Arndt, Kirsten; Schaenzle, Gerhard; Stenkamp, Dirk; Brickl, Rolf-Stefan
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006142274	A1	20060629	US 2005-301446	20051213
DE 102004063752	A1	20060713	DE 2004-102004063752	20041229
WO 2006072415	A1	20060713	WO 2005-EP13972	20051223

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2004-102004063752A 20041229
AB The invention discloses the use of selected CGRP antagonists, the physiol. acceptable salts thereof or the hydrates or the hydrates of the salts

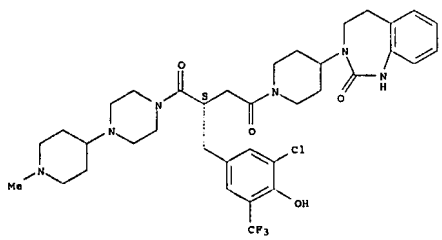
thereof for combating menopausal hot flushes. A variety of formations are included.

IT 894071-73-9 894071-73-9D, salts
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CGRP antagonists for combating menopausal hot flushes)

RN 894071-73-9 CAPLUS

CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

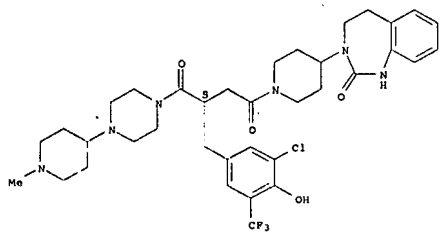
Absolute stereochemistry.



RN 894071-73-9 CAPLUS

CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:636805 CAPLUS
DOCUMENT NUMBER: 145:96481
TITLE: Use of selected CGRP antagonists in combination with other antimigraine drugs for the treatment of migraine
INVENTOR(S): Rudolf, Klaus; Doods, Henri; Mueller, Stephan Georg; Zamponi, Annette; Lustenberger, Philipp; Arndt, Kirsten; Schaenzle, Gerhard; Stenkamp, Dirk; Brickl, Rolf-Stefan
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006142273	A1	20060629	US 2005-275169	20051216
DE 102004063753	A1	20060713	DE 2004-102004063753	20041229
WO 2006072413	A1	20060713	WO 2005-EP13964	20051223

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

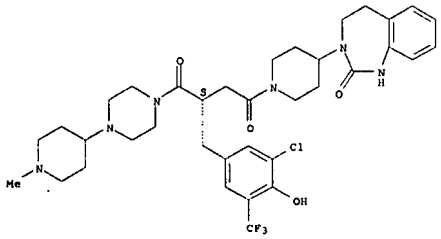
PRIORITY APPLN. INFO.: DE 2004-102004063753A 20041229
AB The invention discloses a process for the treatment or prevention of indications which are selected from among the group comprising headaches, migraine and cluster headaches, the process comprising the joint administration of a therapeutically effective amount of a selected CGRP antagonist (A), a physiol. acceptable salt thereof or a hydrate of the salt and a therapeutically effective amount of a second or third active anti-migraine medicament (B), particularly sumatriptan, zolmitriptan, or dihydroergotamine, or a physiol. acceptable salt thereof, as well as the corresponding pharmaceutical compns. and the preparation thereof. A variety of formulations are included.

IT 894071-73-9 894071-73-9D, salts 894761-34-3
894761-39-8 894761-42-3 894761-51-4
894762-03-9 894762-06-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CGRP antagonists in combination with other antimigraine drugs for treatment of migraine)

RN 894071-73-9 CAPLUS

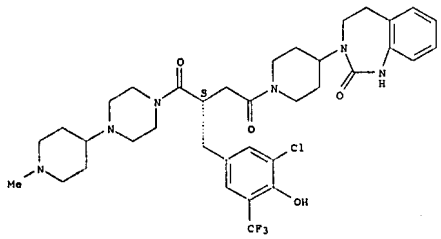
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 894071-73-9 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

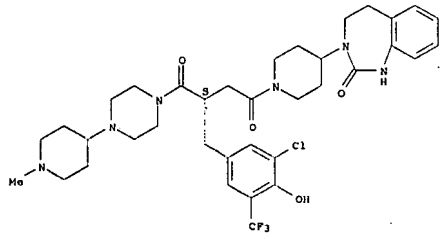


RN 894761-34-3 CAPLUS
CN 2H-1,2-Benzothiazine-3-carboxamide, 4-hydroxy-2-methyl-N-2-pyridinyl-, 1,1-dioxide, mixt. with 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)piperazine (9CI) (CA INDEX NAME)

CM 1

CRN 894071-73-9
CMF C36 H46 Cl F3 N6 O4

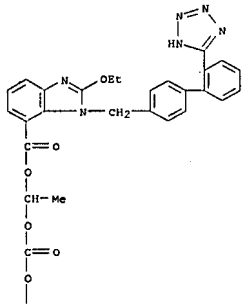
Absolute stereochemistry.

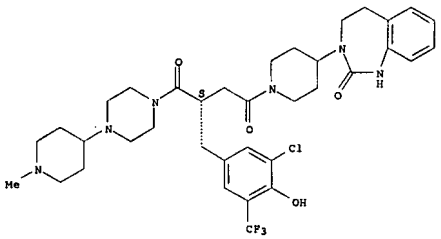


CM 2

CRN 145040-37-5
CMF C33 H34 N6 O6

PAGE 1-A





CM 2

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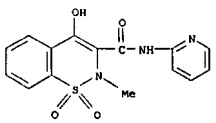


RN 894761-39-8 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, 1-[[(cyclohexyloxy)carbonyl]oxy]ethyl ester, mixt. with 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)piperazine (9CI) (CA INDEX NAME)

CM 1

CRN 894071-73-9
CMF C36 H46 Cl F3 N6 O4

Absolute stereochemistry.

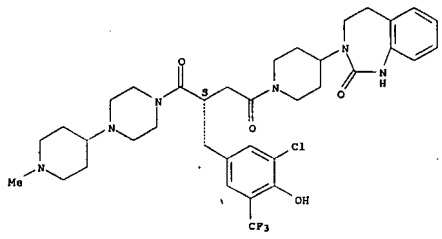
PAGE 2-A

RN 894761-42-3 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)-, mixt. with N,N-dimethyl-5-(1H-1,2,4-triazol-1-ylmethyl)-1H-indole-3-ethanamine (9CI) (CA INDEX NAME)

CM 1

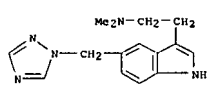
CRN 894071-73-9
CMF C36 H46 Cl F3 N6 O4

Absolute stereochemistry.



CM 2

CRN 144034-80-0
CMF C15 H19 N5



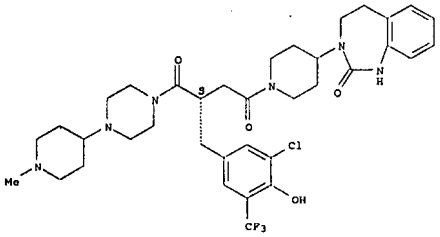
RN 894761-51-4 CAPLUS
CN L-Valine, N-(1-oxopentyl)-N-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, mixt. with 1-[(2S)-2-[[3-chloro-4-hydroxy-5-

(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)piperazine (9CI) (CA INDEX NAME)

CM 1

CRN 894071-73-9
CMF C36 H46 Cl F3 N6 O4

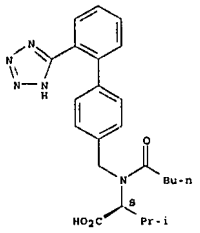
Absolute stereochemistry.



CM 2

CRN 137862-53-4
CMF C24 H29 N5 O3

Absolute stereochemistry.



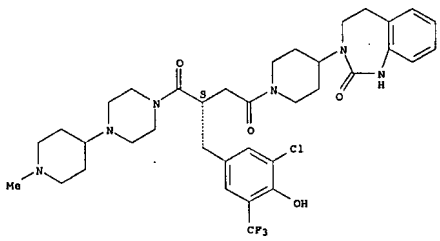
RN 894762-03-9 CAPLUS
CN Piperazine, 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methy

1]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-1-piperidinyl)-, mixt. with N-methyl-3-(1-methyl-4-piperidinyl)-1H-indole-5-ethanesulfonamide (9CI) (CA INDEX NAME)

CM 1

CRN 894071-73-9
CMF C36 H46 Cl F3 N6 O4

Absolute stereochemistry.



CM 2

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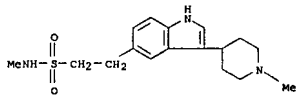
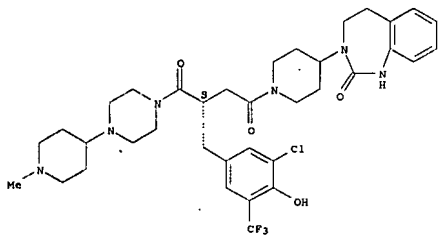


RN 894762-06-2 CAPLUS
CN Benzeneacetic acid, α-methyl-4-(2-methylpropyl)-, mixt. with 1-[(2S)-2-[[3-chloro-4-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)piperazine (9CI) (CA INDEX NAME)

CM 1

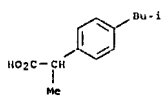
CRN 894071-73-9
CMF C36 H46 Cl F3 N6 O4

Absolute stereochemistry.



CM 2

CRN 15687-27-1
CMF C13 H18 O2



L12 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1004565 CAPLUS
DOCUMENT NUMBER: 143:306304
TITLE: Preparation isoindazoles and related compounds as cgrp antagonists
INVENTOR(S): Lustenberger, Philipp; Rudolf, Klaus; Mueller, Stephan Georg; Stenkamp, Dirk; Doods, Henri; Arndt, Kiraten; Schaenzle, Gerhard
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005084672	A1	20050915	WO 2005-EP2082	20050226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 102004010254	A1	20050922	DE 2004-102004010254	20040303
DE 102004028751	A1	20060105	DE 2004-102004028751	20040615
EP 1722792	A1	20061122	EP 2005-715592	20050226
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US 2005227968	A1	20051013	US 2005-73341	20050303
US 7205294	B2	20070417		

PRIORITY APPLN. INFO.:
DE 2004-102004010254A 20040303
DE 2004-102004028751A 20040615
WO 2005-EP2082 W 20050226

OTHER SOURCE(S): MARPAT 143:306304
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = N, CH; B = N, CH; D = H, Me; E = H, halo, Me, etc.; X = CH2, NH; R1 = (un)substituted 3-phenyl-2-pyrazolin-5-one, tetrahydro-2H-benzo-1,3-diazepin-2-one with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of carboxylic acid II and 1-methyl-4-piperidin-4-ylpiperazine afforded claimed isoindazole III in 34% yield. In cgrp antagonist assays, compds. I exhibited IC50 values equal to or < 10000 nM.

IT 864536-44-7P 864536-45-8P 864536-50-5P
864536-52-7P 864536-78-7P 864536-79-8P
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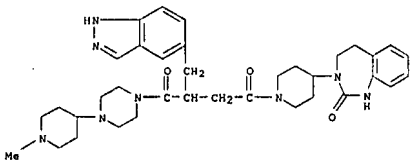
864537-75-7P 864537-76-8P 864537-81-5P
864537-82-6P 864537-87-1P 864537-88-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation isoindazoles and related compds. as cgrp antagonists medicaments)

RN 864536-44-7 CAPLUS
CN Piperazine, 1-[2-(1H-indazol-5-ylmethyl)-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



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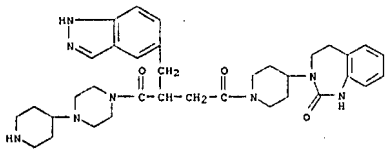

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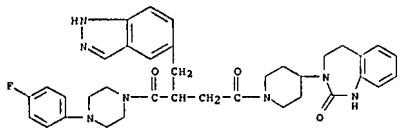

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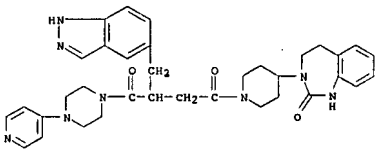

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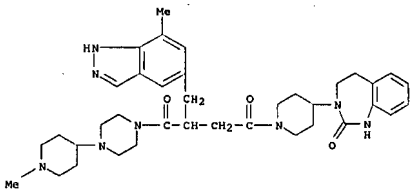

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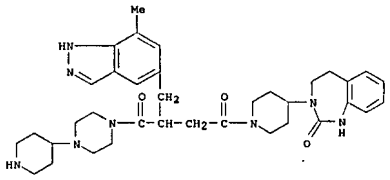

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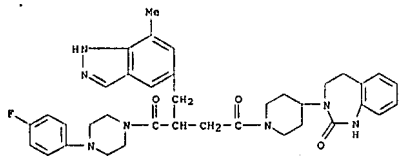
piperidinyl]butyl]- (9CI) (CA INDEX NAME)



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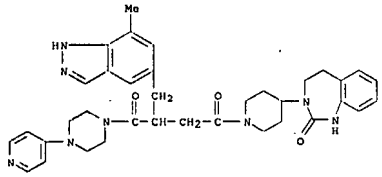

RN 864537-09-7 CAPLUS
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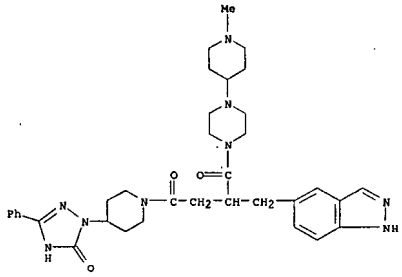

RN 864537-10-0 CAPLUS
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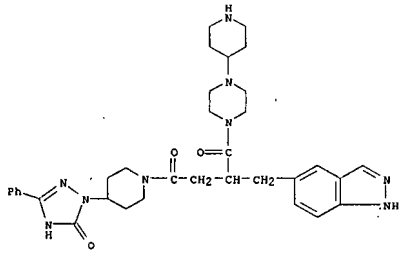
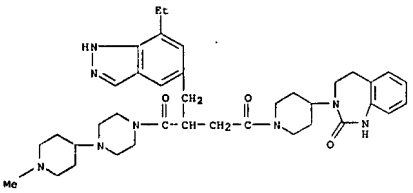
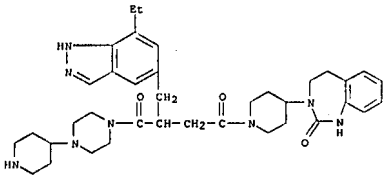


RN 864537-33-7 CAPLUS
CN Piperazine, 1-[2-[(7-ethyl-1H-indazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 864537-34-8 CAPLUS
CN Piperazine, 1-[2-[(7-ethyl-1H-indazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



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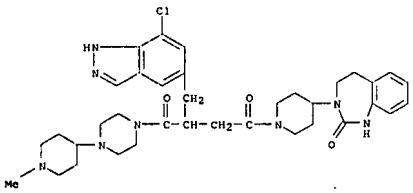
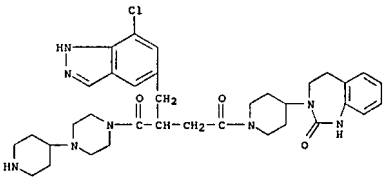
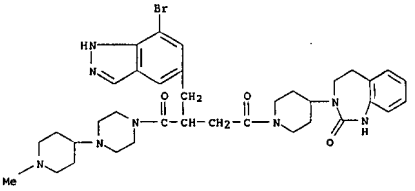
CN Piperazine, 1-[2-[(7-chloro-1H-indazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 864537-40-6 CAPLUS
CN Piperazine, 1-[2-[(7-chloro-1H-indazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 864537-45-1 CAPLUS
CN Piperazine, 1-[2-[(7-bromo-1H-indazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



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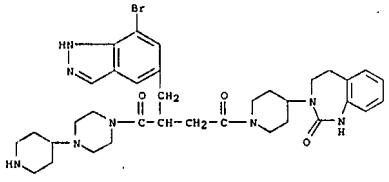
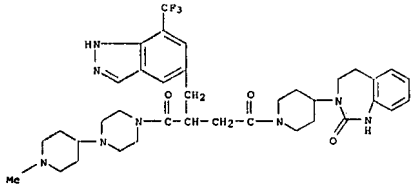
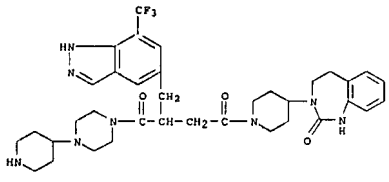


RN 864537-51-9 CAPLUS
CN Piperazine, 1-[1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]-2-[[7-(trifluoromethyl)-1H-indazol-5-yl]methyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

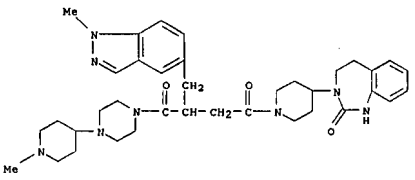


RN 864537-52-0 CAPLUS
CN Piperazine, 1-[1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]-2-[[7-(trifluoromethyl)-1H-indazol-5-yl]methyl]butyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)

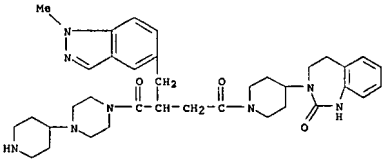


RN 864537-57-5 CAPLUS

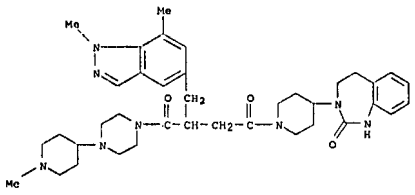
CN Piperazine, 1-[2-[(1-methyl-1H-indazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



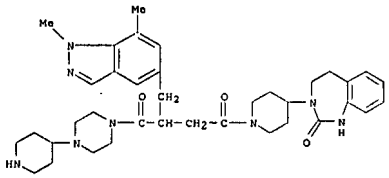
RN 864537-58-6 CAPLUS
CN Piperazine, 1-[2-[(1-methyl-1H-indazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



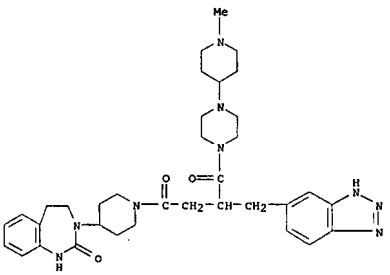
RN 864537-63-3 CAPLUS
CN Piperazine, 1-[2-[(1,7-dimethyl-1H-indazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



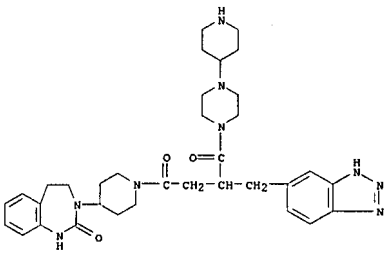
RN 864537-64-4 CAPLUS
CN Piperazine, 1-[2-[(1,7-dimethyl-1H-indazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



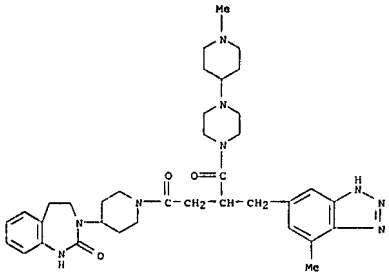
RN 864537-69-9 CAPLUS
CN Piperazine, 1-[2-(1H-benzotriazol-5-ylmethyl)-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



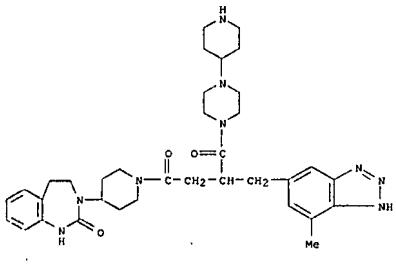
RN 864537-70-2 CAPLUS
CN Piperazine, 1-[2-(1H-benzotriazol-5-ylmethyl)-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



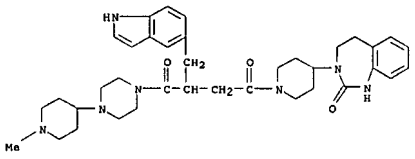
RN 864537-75-7 CAPLUS
CN Piperazine, 1-[2-[(7-methyl-1H-benzotriazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



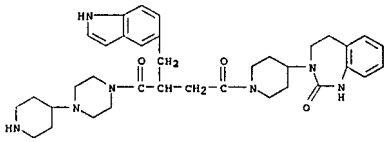
RN 864537-76-8 CAPLUS
CN Piperazine, 1-[2-[(7-methyl-1H-benzotriazol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



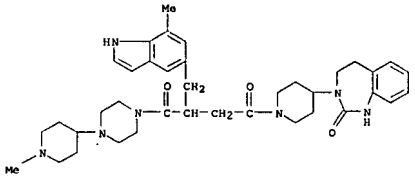
RN 864537-81-5 CAPLUS
CN Piperazine, 1-[2-(1H-indol-5-ylmethyl)-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



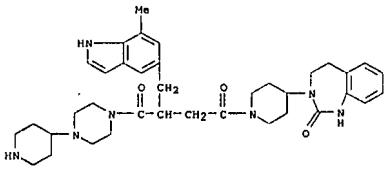
RN 864537-82-6 CAPLUS
CN Piperazine, 1-[2-(1H-indol-5-ylmethyl)-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 864537-87-1 CAPLUS
CN Piperazine, 1-[2-[(7-methyl-1H-indol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 864537-88-2 CAPLUS
CN Piperazine, 1-[2-[(7-methyl-1H-indol-5-yl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:638773 CAPLUS
DOCUMENT NUMBER: 143:133401
TITLE: Preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists
INVENTOR(S): Degnan, Andrew P.; Chen, Ling; Civiello, Rita; Dubowchik, Gene M.; Han, Xiaojun; Jiang, Xiang Jun J.; Macor, John E.; Tora, George
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 385 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005065779	A1	20050721	WO 2003-US38799	20031205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2549330	A1	20050721	CA 2003-2549330	20031205
AU 2003297694	A1	20050812	AU 2003-297694	20031205
EP 1689493	A1	20060816	EP 2003-819270	20031205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003018637	A	20070213	BR 2003-18637	20031205
CN 1917921	A	20070221	CN 2003-80111030	20031205
IN 2006DN02822	A	20070803	IN 2006-DN2822	20060518
MX 2006PA06070	A	20060719	MX 2006-PA6070	20060529
NO 2006002648	A	20060802	NO 2006-2648	20060608
PRIORITY APPLN. INFO.:			EP 2003-819270 A	20031205
			WO 2003-US38799 W	20031205
OTHER SOURCE(S):	MARPAT 143:133401			

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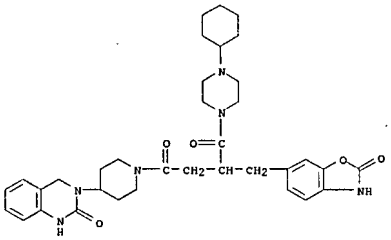

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Diazaheterocycles I [m, n = 0-2; V = (un)substituted NH2, OH; Q = (un)substituted alkyl, NH2, NHCO2H, NHCONH2; U = CH2, NH; D = O, NCN, alkylsulfonylimino; A = C, N, CH; E = (un)substituted heterocyclic; with provisos] were prepared for use as antagonists of calcitonin gene-related peptide receptors for treatment of neurogenic vasodilation, neurogenic inflammation, migraine and other headaches, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD). E.g., a multi-step synthesis of II which had IC50 for calcitonin gene related peptide receptor binding of ≤ 10 nM, was given. The pharmaceutical composition comprising the compound I is claimed.
IT 773886-69-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists)
RN 773886-69-4 CAPLUS
CN Piperazine, 1-cyclohexyl-4-[2-[(2,3-dihydro-2-oxo-6-benzoxazolyl)methyl]-4-[4-(1,2-dihydro-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:470969 CAPLUS
DOCUMENT NUMBER: 143:26636
TITLE: Preparation of 4-[(Arylmethyl)aminomethyl]piperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR

related diseases
INVENTOR(S): Bosch, Michael; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: Fr. Demande, 31 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2862968	A1	20050603	FR 2003-14172	20031201
FR 2862968	B1	20060804		
WO 2005054229	A1	20050616	WO 2004-FR3066	20041130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1694668	A1	20060830	EP 2004-805590	20041130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
JP 2007512384	T	20070517	JP 2006-541974	20041130
US 2007037819	A1	20070215	US 2006-420505	20060526
PRIORITY APPLN. INFO.:			FR 2003-14172 A	20031201
			WO 2004-FR3066 W	20041130
OTHER SOURCE(S):	MARPAT 143:26636			

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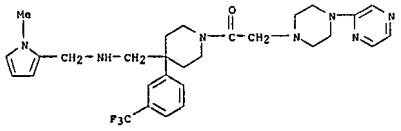
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I (wherein X = (CH2)n; n = 1-2; R1 = CF3; R2 = H, alkyl; R3 = (un)substituted pyrrolyl, 1,2,3-thiadiazolyl, pyrazinyl, etc.; and their salts, hydrates and solvates) were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II was prepared by reacting 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone (preparation given) and 1-methyl-2-pyrrolecarboxaldehyde in THF in the presence of NaBH(OAc)3/AcOH. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.
IT 852936-29-9P, [(1-Methyl-1H-pyrrol-2-yl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-31-3P 852936-32-4P, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-

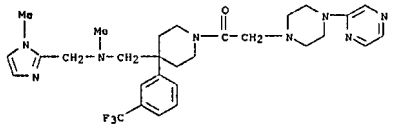
(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(1,3-thiazol-2-yl)methyl]methanamine trihydrochloride 852936-33-5P, (2-Furylmethyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-34-6P, (3-Furylmethyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-35-7P, [(5-Methyl-2-furyl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-36-8P, [(4,5-Dimethyl-2-furyl)methyl](methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride 852936-37-9P, [(5-Chloro-2-furyl)methyl](methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-38-0P, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(2-thienyl)methyl]amine 852936-39-1P, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(3-thienyl)methyl]amine 852936-40-4P, 1-Phenyl-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methanamine 852936-41-5P, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(pyridin-2-yl)methyl]amine 852936-42-6P, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-2-yl)methyl]methanamine 852936-43-7P, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-3-yl)methyl]methanamine tetrahydrochloride 852936-44-8P, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-4-yl)methyl]methanamine tetrahydrochloride 852936-45-9P, N-Methyl-1-(pyrazin-2-yl)-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methanamine tetrahydrochloride 852936-46-0P, [(6-Methylpyridin-2-yl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-47-1P, [(3-Methyl-2-thienyl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride 852936-48-2P 852936-49-3P, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyrimidin-5-yl)methyl]methanamine 852936-50-6P, (1H-Imidazol-2-ylmethyl)(methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852936-51-7P, (1H-Imidazol-5-ylmethyl)(methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine tetrahydrochloride 852936-52-8P, N-Methyl-1-(4-methyl-1H-imidazol-5-yl)-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]pyridin-4-yl]methyl]methanamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)
RN 852936-29-9 CAPLUS
CN 4-Piperidinemethanamine, N-[(1-methyl-1H-pyrrol-2-yl)methyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 852936-31-3 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-N-[(1-methyl-1H-imidazol-2-yl)methyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

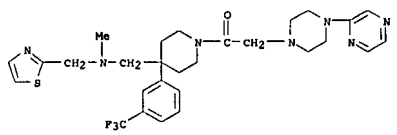
CRN 852936-30-2
CMF C29 H37 F3 N8 O



CM 2

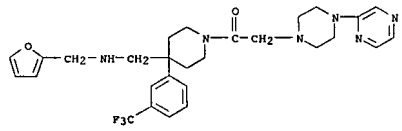
CRN 144-62-7
CMF C2 H2 O4

RN 852936-32-4 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-N-(2-thiazolylmethyl)-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

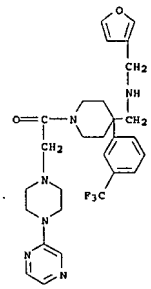


●3 HCl

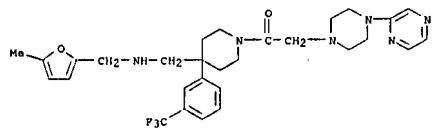
RN 852936-33-5 CAPLUS
CN 4-Piperidinemethanamine, N-(2-furanylmethyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



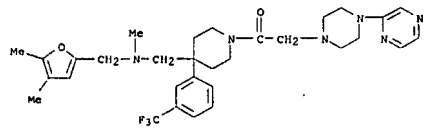
RN 852936-34-6 CAPLUS
CN 4-Piperidinemethanamine, N-(3-furanylmethyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 852936-35-7 CAPLUS
CN 4-Piperidinemethanamine, N-[(5-methyl-2-furanyl)methyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

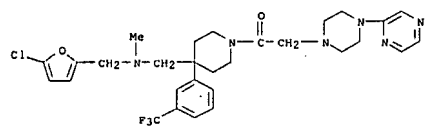


RN 852936-36-8 CAPLUS
CN 4-Piperidinemethanamine, N-[(4,5-dimethyl-2-furanyl)methyl]-N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

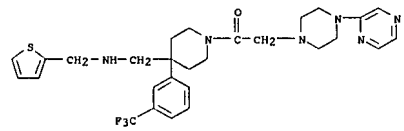


●3 HCl

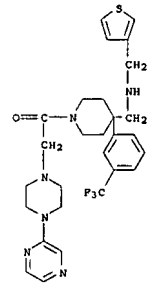
RN 852936-37-9 CAPLUS
CN 4-Piperidinemethanamine, N-[(5-chloro-2-furanyl)methyl]-N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



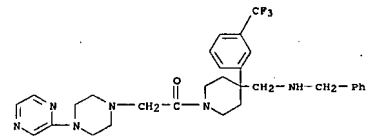
RN 852936-38-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-N-(2-thienylmethyl)-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 852936-39-1 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-N-(3-thienylmethyl)-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

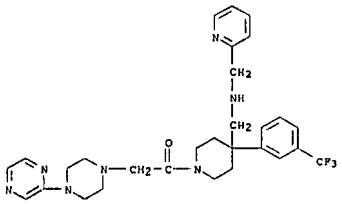


RN 852936-40-4 CAPLUS
CN 4-Piperidinemethanamine, N-(phenylmethyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

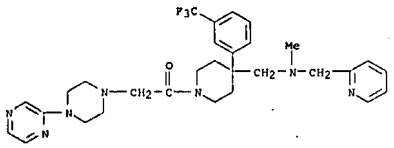


RN 852936-41-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-N-(2-

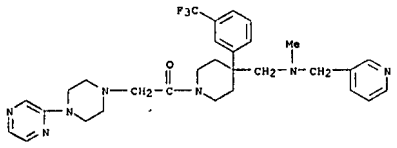
pyridinylmethyl)-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 852936-42-6 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-N-(2-pyridinylmethyl)-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

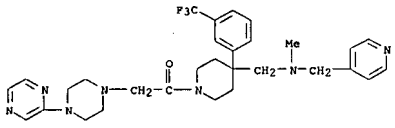


RN 852936-43-7 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-N-(3-pyridinylmethyl)-4-[3-(trifluoromethyl)phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



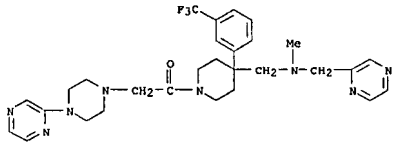
●4 HCl

RN 852936-44-8 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-N-(4-pyridinylmethyl)-4-[3-(trifluoromethyl)phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



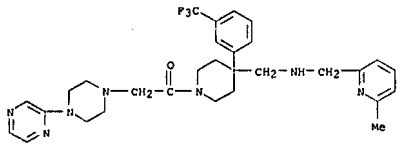
●4 HCl

RN 852936-45-9 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-N-(pyrazinylmethyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

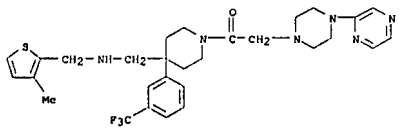


●4 HCl

RN 852936-46-0 CAPLUS
CN 4-Piperidinemethanamine, N-[(6-methyl-2-pyridinyl)methyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

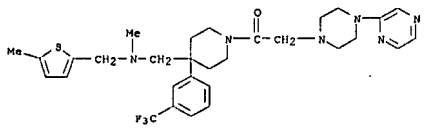


RN 852936-47-1 CAPLUS
CN 4-Piperidinemethanamine, N-[(3-methyl-2-thienyl)methyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

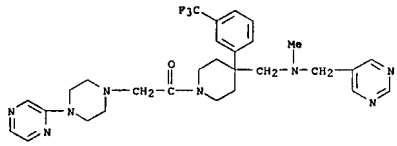
RN 852936-48-2 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-N-[(5-methyl-2-thienyl)methyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



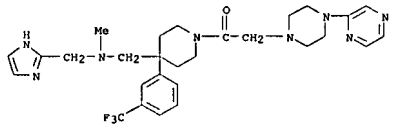
● HCl

RN 852936-49-3 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-N-

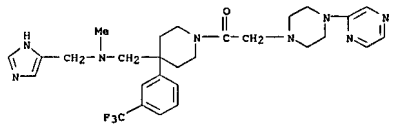
(5-pyrimidinylmethyl)-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 852936-50-6 CAPLUS
CN 4-Piperidinemethanamine, N-(1H-imidazol-2-ylmethyl)-N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



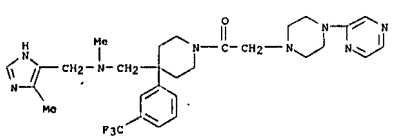
RN 852936-51-7 CAPLUS
CN 4-Piperidinemethanamine, N-(1H-imidazol-4-ylmethyl)-N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

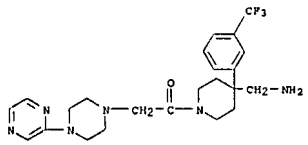
RN 852936-52-8 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-N-[(5-methyl-1H-imidazol-4-yl)methyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI)

(CA INDEX NAME)

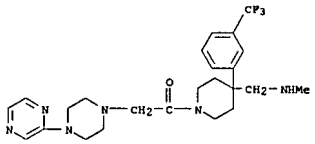


IT 634461-23-7P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634464-08-7P, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634469-57-1P, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]ethanoyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate 852936-54-0P, tert-Butyl {[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl}carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

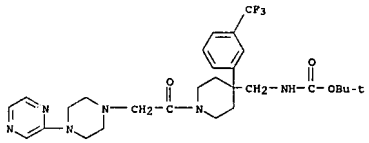
RN 634461-23-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



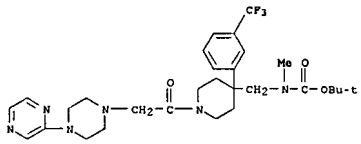
RN 634464-08-7 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634469-57-1 CAPLUS
CN Carbamic acid, [[1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 852936-54-0 CAPLUS
CN Carbamic acid, methyl[[1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:470968 CAPLUS
DOCUMENT NUMBER: 143:26635
TITLE: Preparation of (4-Phenylpiperazin-1-yl)acylpiperidine derivatives as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
INVENTOR(S): Dos Santos, Victor; Wagnon, Jean

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: Fr. Demande, 49 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2862967	A1	20050603	FR 2003-14173	20031201
FR 2862967	B1	20060804		
WO 2005054227	A1	20050616	WO 2004-FR3067	20041130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1699778	A1	20060913	EP 2004-805591	20041130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007512385	T	20070517	JP 2006-541975	20041130
US 2007021609	A1	20070125	US 2006-420508	20060526
PRIORITY APPLN. INFO.:			FR 2003-14173 A	20031201
			WO 2004-FR3067 W	20041130

OTHER SOURCE(S): MARPAT 143:26635
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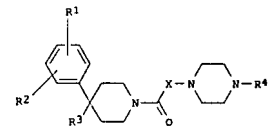

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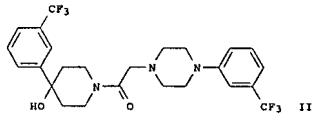


II

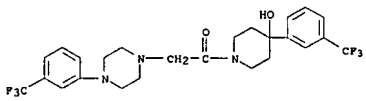
AB Title compds. I (wherein n = 1-2; R1 = halo, CF3, alkyl, alkoxy, OCF3; R2 = H, halo; R3 = H, OH and derivs., NH2 and derivs., etc.; R4 = (un)substituted Ph; their free bases, or acid addition salts, and their hydrates or solvates) were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II·HCl was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) with 1-[3-(trifluoromethyl)phenyl]piperazine in the presence of KI/K2CO3/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

IT 852937-00-9P, 4-[3-(Trifluoromethyl)phenyl]-1-[[4-[3-(trifluoromethyl)phenyl]piperazin-1-yl]acetyl]piperidin-4-ol 852937-01-0P, 1-[[4-(3,4-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-02-1P, 1-[[4-(3,5-Dichlorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-03-2P, 1-[[4-(4-Methylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-04-3P, {[1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl}amine trihydrochloride 852937-05-4P, (2-Furylmethyl)[[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852937-06-5P, {[1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl}[(2-thienyl)methyl]amine 852937-09-8P 852937-11-2P, [[1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(pyridin-3-yl)methyl]amine dioxalate 852937-13-4P 852937-14-5P, N-Methyl-1-[1-[(4-phenylpiperazin-1-yl)acetyl]-4-(3-

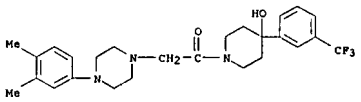
(trifluoromethyl)phenyl]piperidin-4-yl]methanamine dihydrochloride 852937-15-6P, N,N-Dimethyl-1-[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methanamine 852937-16-7P, N-Methyl-N-[[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]ethanamine dihydrochloride 852937-17-8P, [[1-[[4-(4-Fluorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride 852937-18-9P, [[1-[[4-(3-Methoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine dihydrochloride 852937-19-0P, [[1-[[4-(3,4-Dichlorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852937-20-3P, [[1-[[4-(2,4-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methylamine dihydrochloride 852937-21-4P, [[1-[[4-(2,4-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]dimethylamine dihydrochloride 852937-22-5P, [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride 852937-23-6P, [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]dimethylamine trihydrochloride 852937-24-7P, N-Ethyl-N-[[1-[[4-(3-methoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]ethanamine dihydrochloride 852937-25-8P, 1-[2-[4-(Azetidin-1-ylcarbonyl)-4-[3-(trifluoromethyl)phenyl]piperidin-1-yl]-2-oxoethyl]-4-phenylpiperazine 852937-26-9P, [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methylamine 852937-27-0P, 1-[[4-(4-Chlorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-28-1P, 1-[[4-(3-Chlorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-29-2P, 1-[[4-(4-Methoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-30-5P, 1-[[4-(3-Methoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-31-6P, 1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidine-4-carboxamide 852937-32-7P, 1-[[4-(2,4-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidine-4-carboxamide 852937-33-8P, 1-[[4-(2,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidine-4-carboxamide 852937-34-9P, 1-[[4-(2,4-Dichlorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidine-4-carboxamide 852937-35-0P, 1-[3-(4-Phenylpiperazin-1-yl)propanoyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-36-1P, 1-[3-[4-(4-Methylphenyl)piperazin-1-yl]propanoyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-37-2P, 1-[3-[4-(4-Fluorophenyl)piperazin-1-yl]propanoyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-38-3P, 1-[3-[4-(4-Methoxyphenyl)piperazin-1-yl]propanoyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-ol 852937-39-4P, [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(2-furyl)methyl]methylamine 852937-40-7P, 9-[3-Furylmethyl][[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852937-41-8P, [[1-[[4-(2,3-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine 852937-46-3P 852937-47-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phenylpiperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

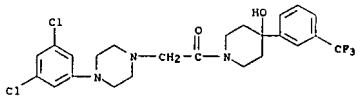
RN 852937-00-9 CAPLUS
CN 4-Piperidinol, 4-[3-(trifluoromethyl)phenyl]-1-[[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



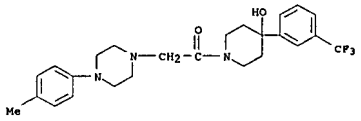
RN 852937-01-0 CAPLUS
CN 4-Piperidinol, 1-[[4-(3,4-dimethylphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



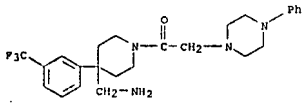
RN 852937-02-1 CAPLUS
CN 4-Piperidinol, 1-[[4-(3,5-dichlorophenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 852937-03-2 CAPLUS
CN 4-Piperidinol, 1-[[4-(4-methylphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

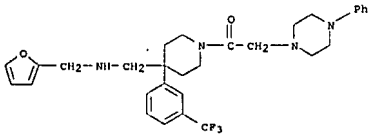


RN 852937-04-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

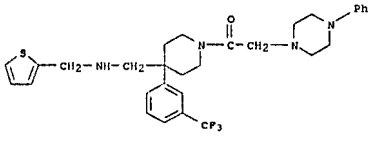


●3 HCl

RN 852937-05-4 CAPLUS
CN 4-Piperidinemethanamine, N-(2-furanylmethyl)-1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



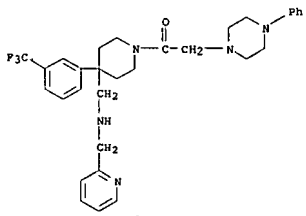
RN 852937-06-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-phenyl-1-piperazinyl)acetyl]-N-(2-thienylmethyl)-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 852937-09-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-phenyl-1-piperazinyl)acetyl]-N-(2-pyridinylmethyl)-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 852937-08-7
CMF C31 H36 F3 N5 O



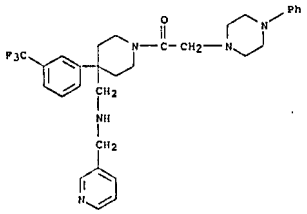
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 852937-11-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-phenyl-1-piperazinyl)acetyl]-N-(3-pyridinylmethyl)-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 852937-10-1
CMF C31 H36 F3 N5 O



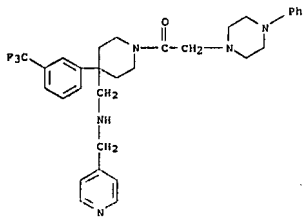
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 852937-13-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-phenyl-1-piperazinyl)acetyl]-N-(4-pyridinylmethyl)-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

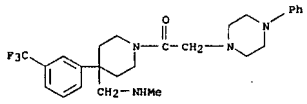
CRN 852937-12-3
CMF C31 H36 F3 N5 O



CM 2

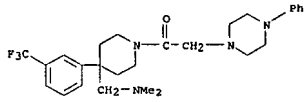
CRN 144-62-7
CMF C2 H2 O4

RN 852937-14-5 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

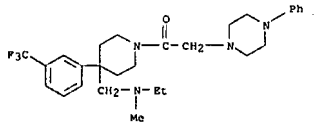


●2 HCl

RN 852937-15-6 CAPLUS
CN 4-Piperidinemethanamine, N,N-dimethyl-1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

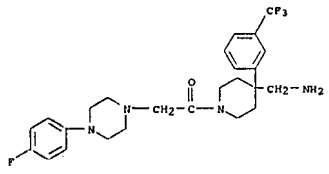


RN 852937-16-7 CAPLUS
CN 4-Piperidinemethanamine, N-ethyl-N-methyl-1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



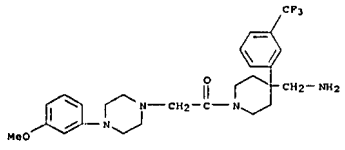
●2 HCl

RN 852937-17-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(4-fluorophenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



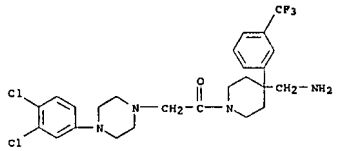
●3 HCl

RN 852937-18-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(3-methoxyphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

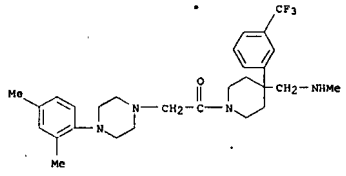


●2 HCl

RN 852937-19-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(3,4-dichlorophenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

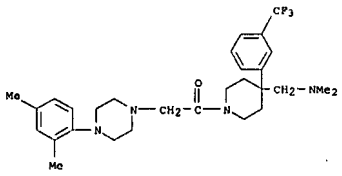


RN 852937-20-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(2,4-dimethylphenyl)-1-piperazinyl]acetyl]-N-methyl-4-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



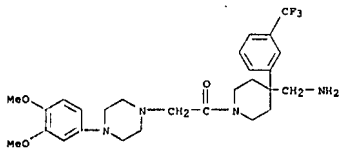
●2 HCl

RN 852937-21-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(2,4-dimethylphenyl)-1-piperazinyl]acetyl]-N,N-dimethyl-4-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



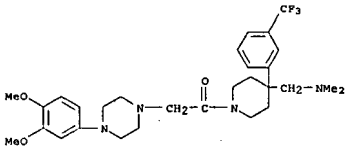
●2 HCl

RN 852937-22-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(3,4-dimethoxyphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



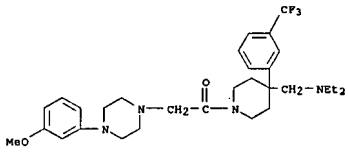
●3 HCl

RN 852937-23-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(3,4-dimethoxyphenyl)-1-piperazinyl]acetyl]-N,N-dimethyl-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



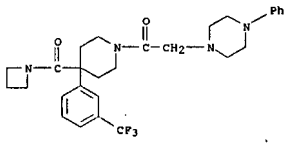
●3 HCl

RN 852937-24-7 CAPLUS
CN 4-Piperidinemethanamine, N,N-diethyl-1-[[4-(3-methoxyphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



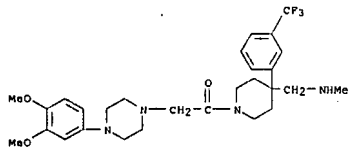
●2 HCl

RN 852937-25-8 CAPLUS
CN Piperidine, 4-(1-azetidinylcarbonyl)-1-[2-(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

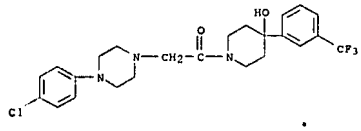


RN 852937-26-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(3,4-dimethoxyphenyl)-1-piperazinyl]acetyl]-

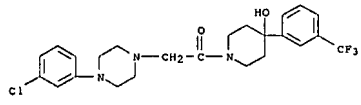
N-methyl-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



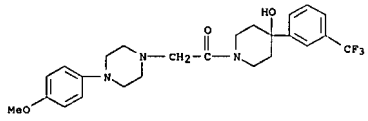
RN 852937-27-0 CAPLUS
CN 4-Piperidinol, 1-[[4-(4-chlorophenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



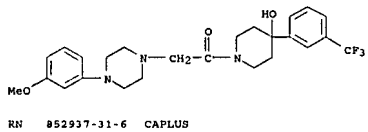
RN 852937-28-1 CAPLUS
CN 4-Piperidinol, 1-[[4-(3-chlorophenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



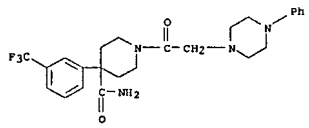
RN 852937-29-2 CAPLUS
CN 4-Piperidinol, 1-[[4-(4-methoxyphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



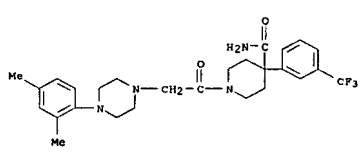
RN 852937-30-5 CAPLUS
CN 4-Piperidinol, 1-[[4-(3-methoxyphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



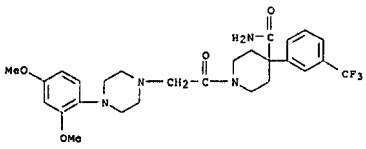
RN 852937-31-6 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



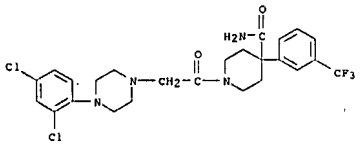
RN 852937-32-7 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[4-(2,4-dimethylphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



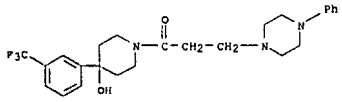
RN 852937-33-8 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[4-(2,4-dimethoxyphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



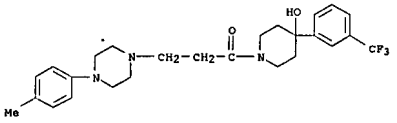
RN 852937-34-9 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[4-(2,4-dichlorophenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



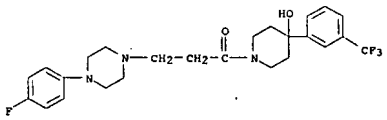
RN 852937-35-0 CAPLUS
CN 4-Piperidinol, 1-[1-oxo-3-(4-phenyl-1-piperazinyl)propyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



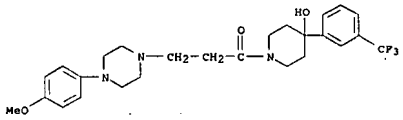
RN 852937-36-1 CAPLUS
CN 4-Piperidinol, 1-[3-[4-(4-methylphenyl)-1-piperazinyl]-1-oxopropyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



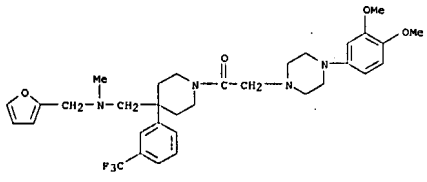
RN 852937-37-2 CAPLUS
CN 4-Piperidinol, 1-[3-[4-(4-fluorophenyl)-1-piperazinyl]-1-oxopropyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 852937-38-3 CAPLUS
CN 4-Piperidinol, 1-[3-[4-(4-methoxyphenyl)-1-piperazinyl]-1-oxopropyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

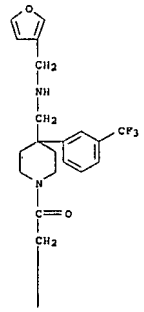


RN 852937-39-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(3,4-dimethoxyphenyl)-1-piperazinyl]acetyl]-N-(2-furanylmethyl)-N-methyl-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

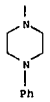


RN 852937-40-7 CAPLUS
CN 4-Piperidinemethanamine, N-(3-furanylmethyl)-1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

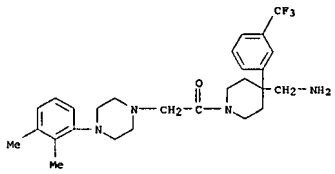
PAGE 1-A



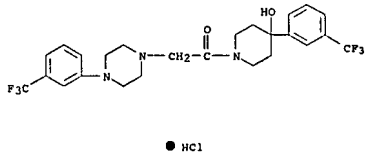
PAGE 2-A



RN 852937-41-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(2,3-dimethylphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

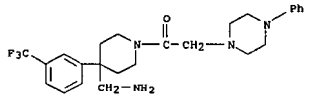


RN 852937-46-3 CAPLUS
CN 4-Piperidinol, 4-[3-(trifluoromethyl)phenyl]-1-[[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 852937-47-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

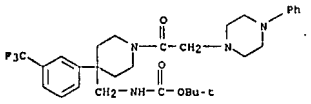


● HCl

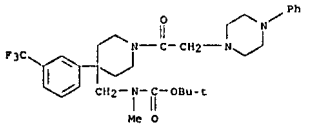
IT 852937-48-5P, tert-Butyl [[1-[2-(4-phenylpiperazin-1-yl)ethanoyl]-

4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]carbamate 852937-49-6P, tert-Butyl methyl[[1-[2-(4-phenylpiperazin-1-yl)ethanoyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpiperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 852937-48-5 CAPLUS
CN Carbamic acid, [[1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 852937-49-6 CAPLUS
CN Carbamic acid, methyl[[1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



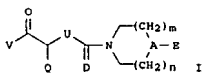
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

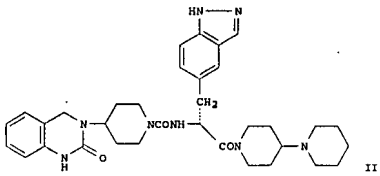
L12 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:857166 CAPLUS
DOCUMENT NUMBER: 141:332218
TITLE: Preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists
INVENTOR(S): Chaturvedula, Prasad V.; Chen, Ling; Civiello, Rita; Conway, Charles Mark; Degnan, Andrew P.; Dubowchik, Gene M.; Han, Xiaojun; Jiang, Xiang Jun; Karageorge, George N.; Luo, Guanglin; Macor, John E.; Poindexter, Graham; Tora, George; Vig, Shikha
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 203 pp., Cont.-in-part of U.S. Ser. No. 445,523.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004204397	A1	20041014	US 2003-729155		20031205
US 7220862	B2	20070522			
US 2004063735	A1	20040401	US 2003-445523		20030527
ZA 2004009654	A	20060726	ZA 2004-9654		20041129
US 2007148093	A1	20070628	US 2006-641974		20061219
US 2007149502	A1	20070628	US 2007-620253		20070105
US 2007149503	A1	20070628	US 2007-620308		20070105
PRIORITY APPLN. INFO.:			US 2002-386138P	P	20020605
			US 2002-388617P	P	20020613
			US 2002-389870P	P	20020619
			US 2002-393200P	P	20020701
			US 2002-413534P	P	20020925
			US 2003-445523	A2	20030527
			US 2003-729155	A3	20031205

OTHER SOURCE(S): MARPAT 141:332218
GI

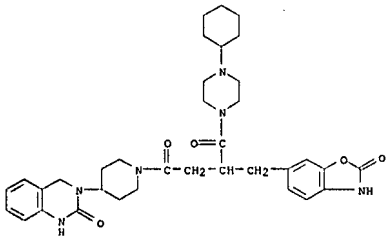




AB Diazaheterocycles I [m, n = 0-2; V = (un)substituted NH2, OH; Q = (un)substituted alkyl, NH2, NHCO2H, NHCONH2; U = CH2, NH; D = O, NCN, alkylsulfonylimino; A = C, N, CH; E = (un)substituted heterocyclic; with provisos] were prepared for use as antagonists of calcitonin gene-related peptide receptors for treatment of neurogenic vasodilation, neurogenic inflammation, migraine and other headaches, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD). Thus, the indazole II was prepared from 1H-indazole-5-carboxaldehyde and had IC50 for calcitonin gene related peptide receptor binding of ≤ 10 nM. The pharmaceutical composition comprising the compound I is claimed.
IT 773886-69-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists)

RN 773886-69-4 CAPLUS
CN Piperazine, 1-cyclohexyl-4-[2-[(2,3-dihydro-2-oxo-6-benzoxazolyl)methyl]-4-[4-(1,2-dihydro-2-oxo-3(4H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 119 THERE ARE 119 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:587914 CAPLUS
DOCUMENT NUMBER: 141:140319
TITLE: Preparation of amino acid dipiperidides as CGRP antagonists
INVENTOR(S): Bauer, Eckhart; Gerlach, Kai; Hurnaus, Rudolf; Mueller, Stephan; Rudolf, Klaus; Schindler, Marcus; Stenkamp, Dirk
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. KG, Germany
SOURCE: Ger. Offen., 98 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10300973	A1	20040722	DE 2003-10300973	20030114
AU 2004203916	A1	20040729	AU 2004-203916	20040109
CA 2513132	A1	20040729	CA 2004-2513132	20040109
WO 2004063171	A1	20040729	WO 2004-EP87	20040109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,				

GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA

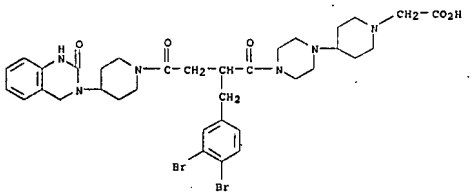
EP 1587795	A1	20051026	EP 2004-700987	20040109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004006762	A	20051220	BR 2004-6762	20040109
CN 1738805	A	20060222	CN 2004-80002209	20040109
JP 2006515875	T	20060608	JP 2006-500537	20040109
US 2004192729	A1	20040930	US 2004-755593	20040112
MX 2005PA06214	A	20050819	MX 2005-PA6214	20050610
IN 2005DN03075	A	20070302	IN 2005-DN3075	20050711
NO 2005003794	A	20050810	NO 2005-3794	20050810
PRIORITY APPLN. INFO.:			WO 2000-EP7613 W	20000805
			DE 2003-10300973 A	20030114
			US 2003-443492P P	20030129
			WO 2004-EP87 W	20040109

OTHER SOURCE(S): MARPAT 141:140319
GI

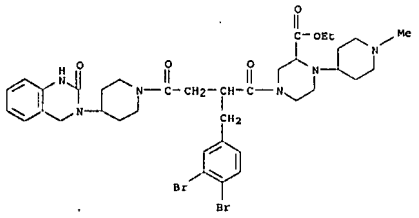
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = (un)substituted diaza-, triaza-, 8,8-dioxidothiadiaza-heterocycle; Ar = (un)substituted aryl, heteroaryl; Y = CH2, NH; Y1 = (un)substituted CH, N; R1 = (un)substituted N heterocycle; R2, R3 = H, carboxylic ester] were prepared for use as CGRP antagonists in the production and purification of antibodies and as marked compds. in RIA and ELISA assays and as diagnostic or analytic additives in neurotransmitter research (no data). Thus, the piperidide II was prepared from the amino acid and piperidine fragments in a multi-step synthesis.
IT 726184-27-6P 726184-36-7P 726184-38-9P
726184-39-0P 726184-41-4P 726184-42-5P
726184-44-7P 726184-45-8P 726184-46-9P
726184-47-0P 726184-49-2P 726184-51-6P
726184-52-7P 726184-53-8P 726184-54-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid dipiperidides as CGRP antagonists)

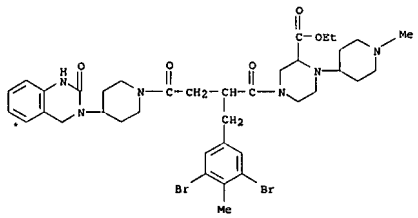
RN 726184-27-6 CAPLUS
CN 1-Piperidineacetic acid, 4-[4-[2-[(3,4-dibromophenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



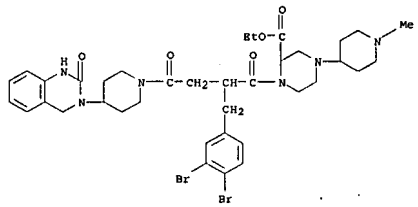
RN 726184-36-7 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[2-[(3,4-dibromophenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-1-(1-methyl-4-piperidinyl)-, ethyl ester (9CI) (CA INDEX NAME)



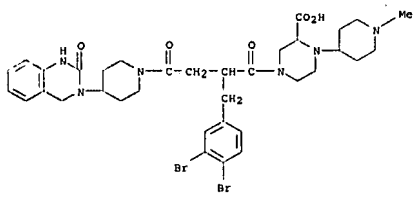
RN 726184-38-9 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[2-[(3,5-dibromo-4-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-1-(1-methyl-4-piperidinyl)-, ethyl ester (9CI) (CA INDEX NAME)



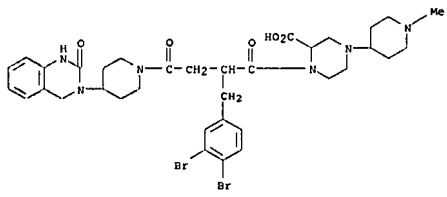
RN 726184-39-0 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[2-[(3,4-dibromophenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)-, ethyl ester (9CI) (CA INDEX NAME)



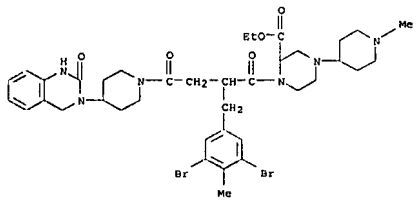
RN 726184-41-4 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[2-[(3,4-dibromophenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-1-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



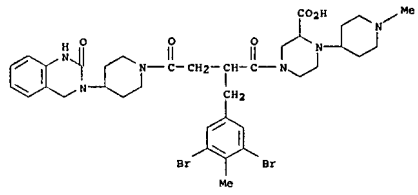
RN 726184-42-5 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[2-[(3,4-dibromophenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 726184-44-7 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[2-[(3,5-dibromo-4-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 726184-45-8 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[2-[(3,5-dibromo-4-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-1-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 726184-46-9 CAPLUS
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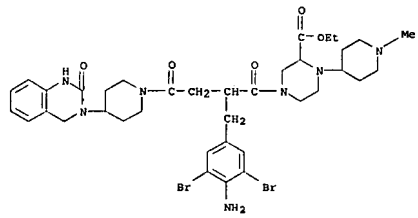

RN 726184-47-0 CAPLUS
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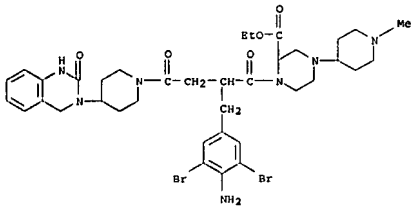
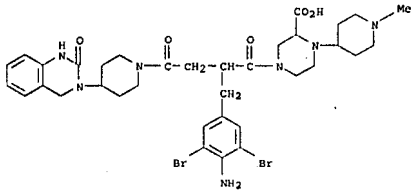
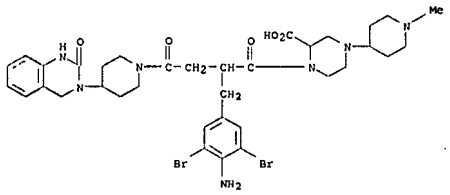


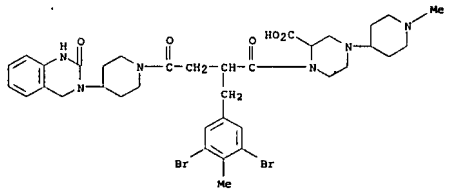
RN 726184-49-2 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[2-[(4-amino-3,5-dibromophenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-1-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



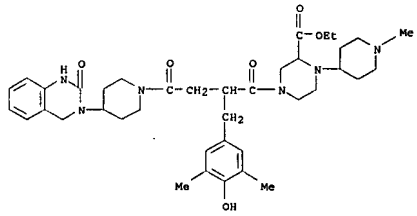
RN 726184-51-6 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[2-[(4-amino-3,5-dibromophenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



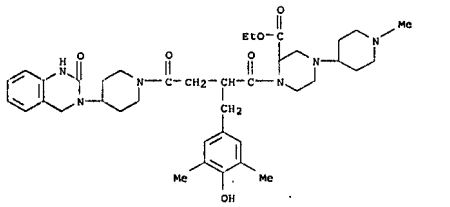
RN 726184-52-7 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[2-[(3,5-dibromo-4-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 726184-53-8 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-1-(1-methyl-4-piperidinyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 726184-54-9 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-2-[(4-hydroxy-3,5-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:370923 CAPLUS
DOCUMENT NUMBER: 140:391302
TITLE: Preparation of benzo-1,3-diazepin-2-ones and related compounds as CGRP receptor antagonists for the treatment of migraine headaches
INVENTOR(S): Rudolf, Klaus; Mueller, Stephan Georg; Stenkamp, Dirk; Lustenberger, Philipp; Dreyer, Alexander; Bauer, Eckhart; Schindler, Marcus; Arndt, Kirsten; Doods, Henri
PATENT ASSIGNEE(S): Boehringer Ingelheim, Germany
SOURCE: PCT Int. Appl., 254 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037811	A1	20040506	WO 2003-EP11763	20031023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10250082	A1	20040513	DE 2002-10250082	20021025
US 2004132716	A1	20040708	US 2003-685921	20031015
CA 2503462	A1	20040506	CA 2003-2503462	20031023
AU 2003276157	A1	20040513	AU 2003-276157	20031023
EP 1558601	A1	20050803	EP 2003-809318	20031023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015642	A	20050830	BR 2003-15642	20031023
CN 1708492	A	20051214	CN 2003-80101980	20031023
JP 2006505573	T	20060216	JP 2004-545964	20031023

NZ 540006	A	20070531	NZ 2003-540006	20031023
ZA 2005002247	A	20050919	ZA 2005-2247	20050317
MX 2005PA04188	A	20051005	MX 2005-PA4188	20050420
IN 2005DN01641	A	20070119	IN 2005-DN1641	20050421
NO 2005002493	A	20050524	NO 2005-2493	20050524
IN 2006DN05460	A	20070803	IN 2006-DN5460	20060920

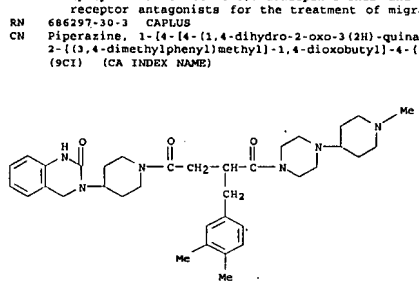
PRIORITY APPLN. INFO.:

DE 2002-10250082	A	20021025
US 2002-426167P	P	20021114
WO 2003-EP11763	W	20031023
DE 2004-102004015723A		20040329

OTHER SOURCE(S): MARPAT 140:391302
GI

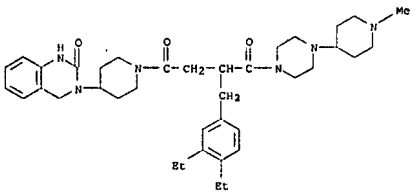
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = O, S, phenylsulfonylimino, etc.; X = O, S, substituted imino, etc.; Y, Z = alkyl, difluoromethyl, trifluoromethyl, etc.; R1 = 5-7 membered aza, diaza, triaza, etc. heterocycle; R2 = H, phenylmethyl, alkyl, etc.; R3 = H, Ph, pyridinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, benzo-1,3-diazepin-2-one II was prepared from 1-(3,4-diethylphenyl)ethanone in 8-steps. In human CGRP receptor binding affinity assays, compds. I exhibited IC50 values < 10000 nM. Compds. I are claimed useful for the treatment of migraine headaches.
IT 686297-30-3P 686297-39-2P 686297-59-6P
686297-60-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzo-1,3-diazepin-2-ones and related compds. as CGRP receptor antagonists for the treatment of migraine headaches)
RN 686297-30-3 CAPLUS
CN Piperazine, 1-[4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-2-[(3,4-dimethylphenyl)methyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



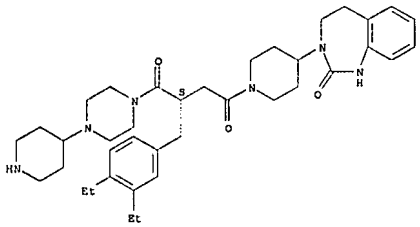
RN 686297-39-2 CAPLUS
CN Piperazine, 1-[2-[(3,4-diethylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)-

(9CI) (CA INDEX NAME)



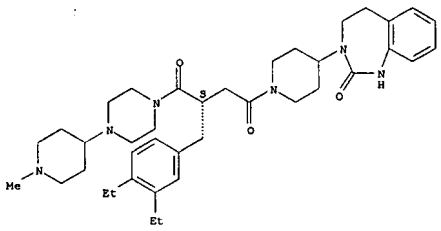
RN 686297-59-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3,4-diethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 686297-60-9 CAPLUS
CN Piperazine, 1-[(2S)-2-[(3,4-diethylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:370922 CAPLUS
DOCUMENT NUMBER: 140:391301
TITLE: Preparation of benzo-1,3-diazepin-2-ones and related compounds as CGRP receptor antagonists for the treatment of migraine headaches
INVENTOR(S): Rudolf, Klaus; Mueller, Stephan Georg; Stenkamp, Dirk; Lustenberger, Philipp; Dreyer, Alexander; Bauer, Eckhart; Schindler, Marcus; Kirsten, Arndt; Doods, Henri
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 315 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037810	A1	20040506	WO 2003-EP11762	20031023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10250080	A1	20040513	DE 2002-10250080	20021025
US 2006079504	A1	20060413	US 2003-687262	20031016
CA 2503455	A1	20040506	CA 2003-2503455	20031023
AU 2003276156	A1	20040513	AU 2003-276156	20031023
EP 1558600	A1	20050803	EP 2003-809317	20031023

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK															
BR 2003015665	A	20050830	BR 2003-15665	20031023											
CN 1708493	A	20051214	CN 2003-80102004	20031023											
JP 2006516244	T	20060629	JP 2004-545963	20031023											
* IN 2005DN01640	A	20070323	IN 2005-DN1640	20050421											
MX 2005PA04375	A	20050705	MX 2005-PA4375	20050425											
NO 2005002496	A	20050624	NO 2005-2496	20050524											
PRIORITY APPLN. INFO.:			DE 2002-10250080	A	20021025										
			US 2002-426168P	P	20021114										
			WO 2003-EP11762	W	20031023										

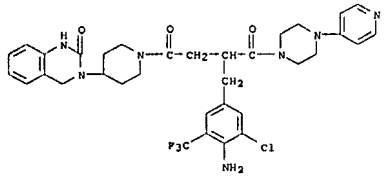
OTHER SOURCE(S): MARPAT 140:391301
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = O, S, phenylsulfonylimino, etc.; X = O, S, substituted imino, etc.; U = alkyl, alkenyl, alkynyl, etc.; V = Cl, Br, amino, etc.; W = H, halo, difluoromethyl, etc.; R1 = 5-7 membered aza, diaza, triaza, etc. heterocycle; R2 = H, phenylmethyl, alkyl, etc.; R3 = H, Ph, pyridinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, benzo-1,3-diazepin-2-one II was prepared from 4-amino-3-chloro-5-trifluoromethylbenzoic acid in 9-steps. In human CGRP receptor binding affinity assays, compds. I exhibited IC50 values < 10000 nM. Compds. I are claimed useful for the treatment of migraine headaches.

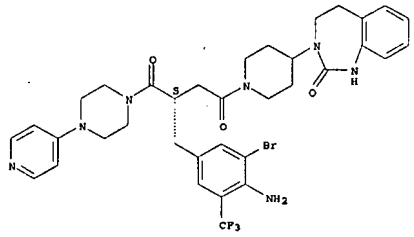
IT 688018-15-7P 688018-35-1P 688018-39-5P
688018-63-5P 688018-65-7P 688018-98-6P
688019-15-0P 688019-23-0P 688019-24-1P
688019-47-8P 688019-64-9P 688019-67-2P
688019-70-7P 688019-76-3P 688019-79-6P
688019-86-5P 688019-88-7P 688044-92-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzo-1,3-diazepin-2-ones and related compds. as CGRP receptor antagonists for the treatment of migraine headaches)

RN 688018-15-7 CAPLUS
CN Piperazine, 1-[2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



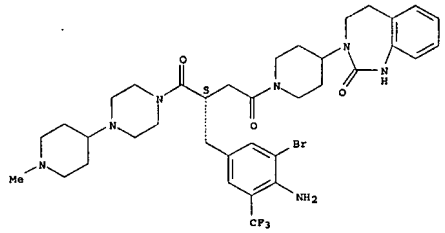
RN 688018-35-1 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-bromo-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



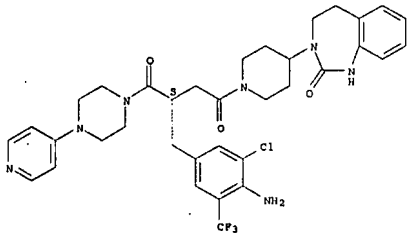
RN 688018-39-5 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-bromo-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



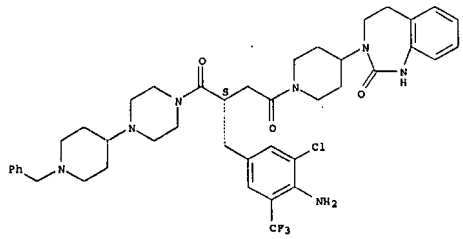
RN 688018-63-5 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



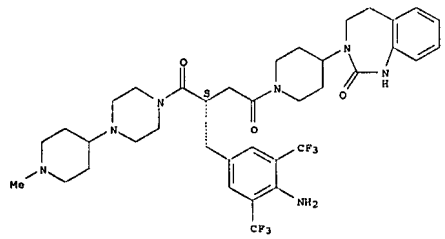
RN 688018-65-7 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



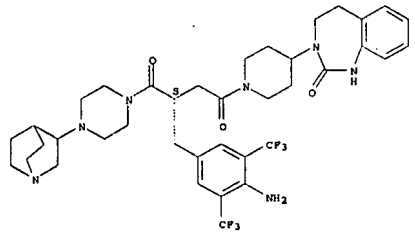
RN 688018-98-6 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

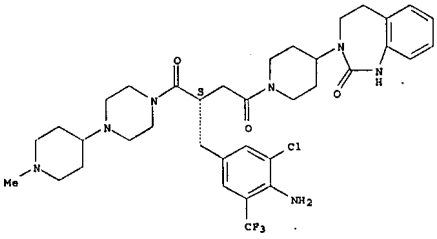


RN 688019-24-1 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3,5-bis(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-azabicyclo[2.2.2]oct-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

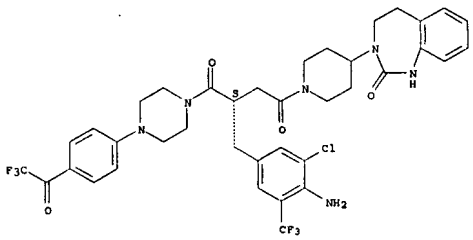


RN 688019-47-8 CAPLUS
CN Piperazine, 1-[2-[(4-bromo-3-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-[4-[3-(dimethylamino)propyl]phenyl]- (9CI) (CA INDEX NAME)



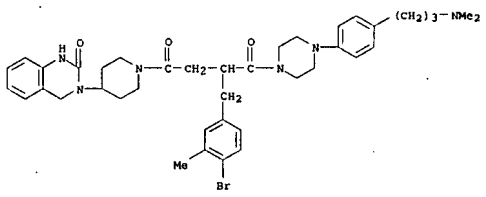
RN 688019-15-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-[4-(trifluoroacetyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

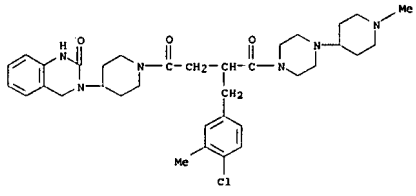


RN 688019-23-0 CAPLUS
CN Piperazine, 1-[(2S)-2-[[4-amino-3,5-bis(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

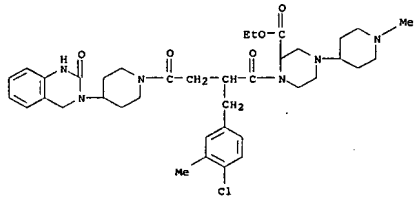
Absolute stereochemistry.



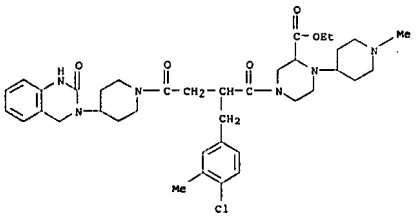
RN 688019-64-9 CAPLUS
CN Piperazine, 1-[2-[(4-chloro-3-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



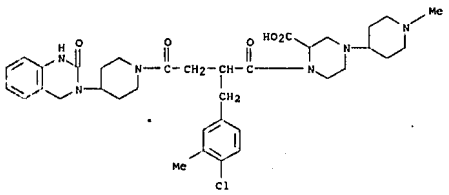
RN 688019-67-2 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[2-[(4-chloro-3-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)-, ethyl ester (9CI) (CA INDEX NAME)



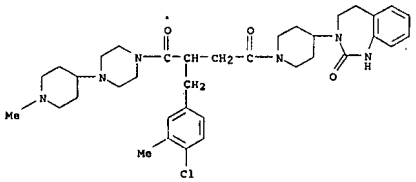
RN 688019-70-7 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[2-[(4-chloro-3-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-1-(1-methyl-4-piperidinyl)-, ethyl ester (9CI) (CA INDEX NAME)



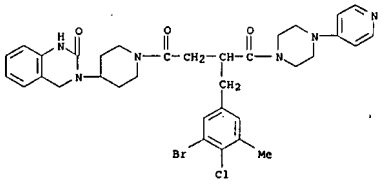
RN 688019-76-3 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[2-[(4-chloro-3-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



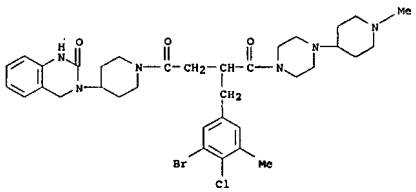
RN 688019-79-6 CAPLUS
CN Piperazine, 1-[2-[(4-chloro-3-methylphenyl)methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 688019-86-5 CAPLUS
CN Piperazine, 1-[2-[(3-bromo-4-chloro-5-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



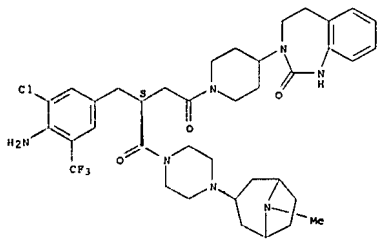
RN 688019-88-7 CAPLUS
CN Piperazine, 1-[2-[(3-bromo-4-chloro-5-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 688044-92-0 CAPLUS

CN Piperazine, 1-[(2S)-2-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-1,4-dioxo-4-[4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-1-piperidinyl]butyl]-4-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

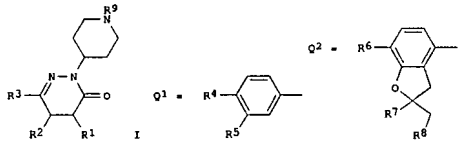
L12 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:182864 CAPLUS
DOCUMENT NUMBER: 140:217651
TITLE: Preparation of piperidinylpyridazinones as inhibitors of phosphodiesterase PDE4 or PDE3/4 inhibitors.
INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Christiaans, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018451	A1	20040304	WO 2003-EP8677	20030806
WO 2004018451	A8	20040506		
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494650	A1	20040304	CA 2003-2494650	20030806
AU 2003251693	A1	20040311	AU 2003-251693	20030806
EP 1556369	A1	20050727	EP 2003-792259	20030806

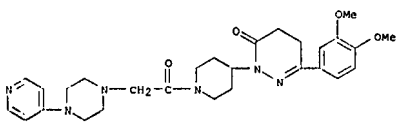
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005538138	T	20051215	JP 2004-530088	20030806
US 2006167001	A1	20060727	US 2005-523112	20050203
PRIORITY APPLN. INFO.:			EP 2002-17976 A	20020810
			WO 2003-EP8677 W	20030806

OTHER SOURCE(S): MARPAT 140:217651
GI

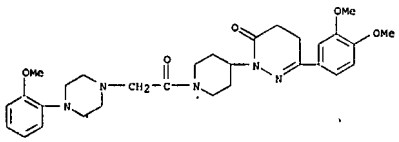


AB Title compds. [I; R1, R2 = H, alkyl; R3 = Q1, Q2; R4 = (fluoro)alkoxy; R5, R6 = cycloalkoxy, cycloalkylmethoxy, (fluoro)alkoxy; R7 = alkyl; R8 = H, alkyl; R7R8 = atoms to form a 5-7 membered ring optionally interrupted by O, S; R9 = alkyl, SO2R10, COR11, aryl, etc.; R10 = alkyl, 5-dimethylaminonaphthalen-1-yl, thienyl, NR16R17, (substituted) Ph, etc.; R11 = alkyl, carboxyalkyl, Ph, pyridyl, NR16R17, etc.; R16 = H, alkyl, cycloalkyl, cycloalkylmethyl, (substituted) Ph; R17 = alkyl, cycloalkyl, cycloalkylmethyl, (substituted) Ph; NR16R17 = 4-morpholinyl, 1-pyrrolidinyl, 1-piperidinyl, 1-hexahydroazepinyl, (substituted) piperazinyl], were prepared Thus, piperidin-4-ylhydrazine dihydrochloride (preparation given), 4-(3,4-dimethoxyphenyl)-3-methyl-4-oxobutyric acid, and Et3N were refluxed 18 h in PrOH to give 6-(3,4-dimethoxyphenyl)-5-methyl-2-piperidin-4-yl-4,5-dihydro-2H-pyridazin-3-one hydrochloride. I inhibited PDE4 with -log IC50 = 7.17-8.39.
IT 666750-84-1P 666750-85-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinylpyridazinones as phosphodiesterase PDE4 or PDE3/4 inhibitors)
RN 666750-84-1 CAPLUS
CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[[4-(4-pyridinyl)-1-piperazinyl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 666750-85-2 CAPLUS
CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[[4-(2-methoxyphenyl)-1-piperazinyl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)



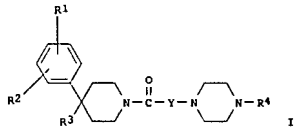
●2 HCl

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

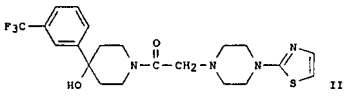
L12 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:991507 CAPLUS
DOCUMENT NUMBER: 140:42206
TITLE: Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
INVENTOR(S): Bono, Francoise; Bosch, Michaeel; Dos Santos, Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104226	A1	20031218	WO 2003-FR1686	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003255645	A1	20031222	AU 2003-255645	20030605
EP 1513836	A1	20050316	EP 2003-757109	20030605
EP 1513836	B1	20060503		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1675203	A	20050928	CN 2003-818808	20030605
JP 2005533051	T	20051104	JP 2004-511296	20030605
AT 325122	T	20060615	AT 2003-757109	20030605
AT 336491	T	20060915	AT 2003-757108	20030605
PT 1513836	T	20060929	PT 2003-757109	20030605
ES 2264001	T3	20061216	ES 2003-3757109	20030605
ZA 2004009823	A	20060726	ZA 2004-9823	20041203
US 2006167007	A1	20060727	US 2004-516808	20041203
PRIORITY APPLN. INFO.:			FR 2002-7001 A	20020607
			WO 2003-FR1686 W	20030605

OTHER SOURCE(S): MARPAT 140:42206
GI



I

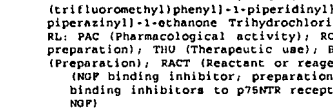


II

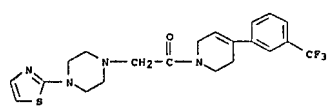
AB Title compds. I [wherein: Y = (CH2)n; n = 1 or 2; R1 = halo, CF3, alkyl, alkoxy, trifluoromethoxy; R2 = H, halo; R3 = H, OR5, CH2OR5, NH2 and derivs., NHCOR6 and derivs., NHCONH2 and derivs., CH2NR7R8, CH2NHCONH2 and derivs., alkoxycarbonyl, CONH2 and derivs.; or R3 forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R4 = 1,3-thiazol-2-yl; R5 = H, alkyl, alkylcarbonyl; R6 = alkyl, (CH2)mNH2 and derivs.; m = 1,2, or 3; R7, R8 = independently H, alkyl; R8 = (CH2)qOH, (CH2)qSMe; q = 2 or 3; or R7R8N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, I (m.p. = 157-158°) was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) and 1-(1,3-thiazol-2-yl)piperazine dihydrochloride (preparation given) in the presence of KI/K2CO3/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

IT 634613-42-6P, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone 634613-43-7P 634613-45-9P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone Trihydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

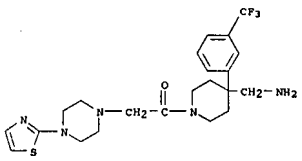
RN 634613-42-6 CAPLUS
CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-43-7 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



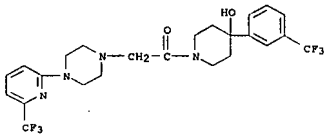
RN 634613-45-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

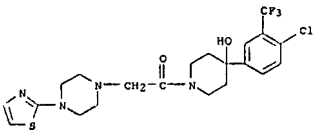
IT 634466-52-7P 634613-37-9P 634613-38-0P 634613-39-1P 634613-40-4P 634613-41-5P 634613-44-8P, 2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-3,6-dihydro-1-(2H)-pyridinyl]-1-ethanone dioxalate 634613-47-1P, 1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone 634613-48-2P, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 634466-52-7 CAPLUS
CN 4-Piperidinol, 4-[3-(trifluoromethyl)phenyl]-1-[[4-[6-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

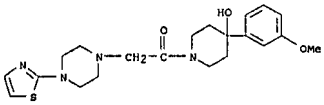


● HCl

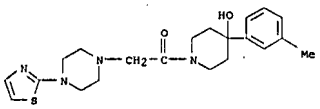
RN 634613-37-9 CAPLUS
CN 4-Piperidinol, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



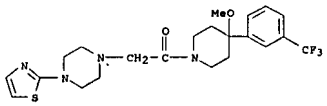
RN 634613-38-0 CAPLUS
CN 4-Piperidinol, 4-(3-methoxyphenyl)-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 634613-39-1 CAPLUS
CN 4-Piperidinol, 4-(3-methylphenyl)-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

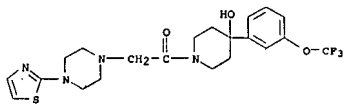


RN 634613-40-4 CAPLUS
CN Piperidine, 4-methoxy-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

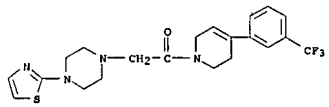
RN 634613-41-5 CAPLUS
CN 4-Piperidinol, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-44-8 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

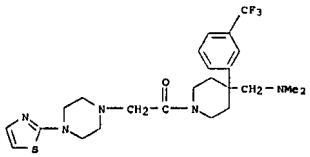
CRN 634613-43-7
CMF C21 H23 F3 N4 O S



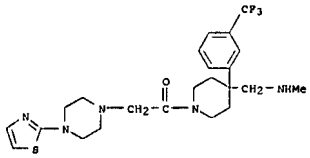
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634613-47-1 CAPLUS
CN 4-Piperidinemethanamine, N,N-dimethyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

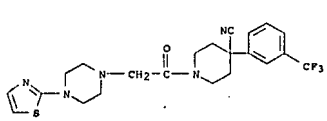


RN 634613-48-2 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

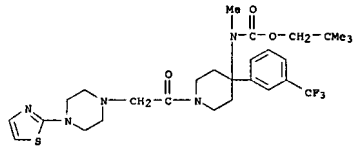


IT 634613-46-0P, 1-[2-[4-(1,3-Thiazol-2-yl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile 634613-49-3P, tert-Butylmethyl [1-[2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 634613-46-0 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634613-49-3 CAPLUS
CN Carbamic acid, methyl[1-[[4-(2-thiazolyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

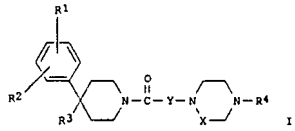
L12 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:991506 CAPLUS
DOCUMENT NUMBER: 140:27846
TITLE: Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
INVENTOR(S): Bono, Francoise; Bosch, Michaeel; Dos, Santos Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Dos Santos, Victor
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104225	A1	20031218	WO 2003-FR1685	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487840	A1	20031218	CA 2003-2487840	20030605
AU 2003255644	A1	20031222	AU 2003-255644	20030605
EP 1513835	A1	20050316	EP 2003-757108	20030605
EP 1513835	B1	20060816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

BR 2003011828	A	20050329	BR 2003-11828		20030605
US 2005176722	A1	20050811	US 2003-516704		20030605
CN 1675203	A	20050928	CN 2003-818808		20030605
JP 2005534661	T	20051117	JP 2004-511295		20030605
AT 325122	T	20060615	AT 2003-757109		20030605
NZ 537044	A	20060831	NZ 2003-537044		20030605
AT 336491	T	20060915	AT 2003-757108		20030605
PT 1513836	T	20060929	PT 2003-757109		20030605
ES 2264001	T3	20061216	ES 2003-3757109		20030605
ZA 2004009823	A	20060726	ZA 2004-9823		20041203
NO 2004005331	A	20050307	NO 2004-5331		20041206
IN 2004KN01862	A	20060407	IN 2004-KN1862		20041206
MX 2004PA12341	A	20050930	MX 2004-PA12341		20041207
PRIORITY APPLN. INFO.:			FR 2002-7001	A	20020607
			WO 2003-FR1685	W	20030605

OTHER SOURCE(S): MARPAT 140:27846

GI



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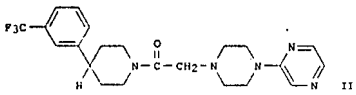


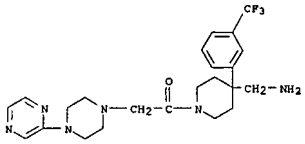
II

AB Title compds. I [wherein: Y = (CH2)n; n = 1 or 2; X = (CH2)p; p = 1 or 2; R1 = halo, CF3, alkyl, alkoxy, trifluoromethoxy; R2 = H, halo; R3 = H, OR5, CH2OR5, NH2 and derivs., NHCOR6 and derivs., NHCONH2 and derivs., CH2NR7R8, CH2NHCONH2 and derivs., alkoxycarbonyl, CONH2 and derivs.; or R3 forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R4 = (un)substituted pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, 3(2H)-pyridazinon-5-yl, 3(2H)-pyridazinon-4-yl; R5 = H, alkyl, alkylcarbonyl; R6 = alkyl, (CH2)mNH2 and derivs.; m = 1,2, or 3; R7, R8 = independently H, alkyl; R8 = (CH2)qOH, (CH2)qSMe; q = 2 or 3; or R7R8N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve

growth factor) for treating p75NTR related diseases (no data). For example, II•HCl was prepared by reacting 1-(2-pyrazinyl)piperazine (preparation given) with 2-chloro-1-[4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) in the presence of KI/K2CO3/MeCN, followed by acidulation with HCl. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

IT 634461-23-7P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
634461-63-5P 634461-69-1P 634462-72-9P
634462-91-2P 634463-08-4P 634463-19-7P
634463-26-6P 634463-39-1P 634463-49-3P
634463-83-5P 634464-53-2P 634464-60-1P
634464-66-7P 634525-03-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

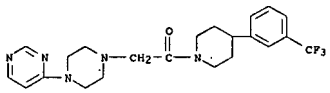
RN 634461-23-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634461-63-5 CAPLUS
CN Piperidine, 1-[[4-(4-pyrimidinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

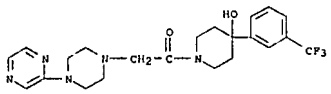
CRN 634461-62-4
CMF C22 H26 F3 N5 O



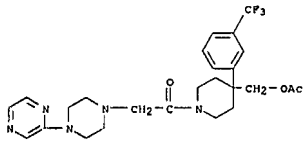
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634461-69-1 CAPLUS
CN 4-Piperidinol, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

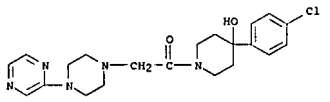


RN 634462-72-9 CAPLUS
CN 4-Piperidinemethanol, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, acetate (ester), dihydrochloride (9CI) (CA INDEX NAME)

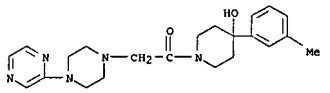


●2 HCl

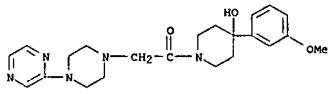
RN 634462-91-2 CAPLUS
CN 4-Piperidinol, 4-(4-chlorophenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



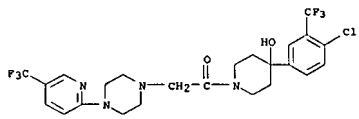
RN 634463-08-4 CAPLUS
CN 4-Piperidinol, 4-(3-methylphenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



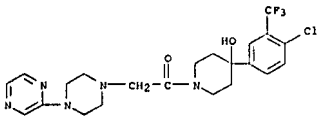
RN 634463-19-7 CAPLUS
CN 4-Piperidinol, 4-(3-methoxyphenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



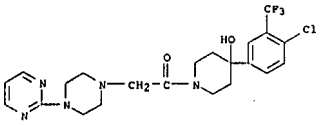
RN 634463-26-6 CAPLUS
CN 4-Piperidinol, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 634463-39-1 CAPLUS
CN 4-Piperidinol, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



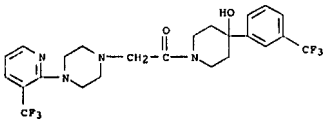
RN 634463-49-3 CAPLUS
CN 4-Piperidinol, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[[4-(2-pyrimidinyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



RN 634463-83-5 CAPLUS
CN 4-Piperidinol, 4-[3-(trifluoromethyl)phenyl]-1-[[4-[3-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]acetyl]-, ethanedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

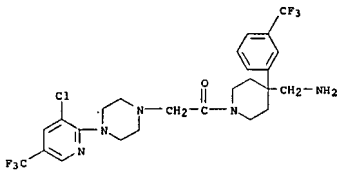
CRN 634463-82-4
CMF C24 H26 F6 N4 O2



CM 2

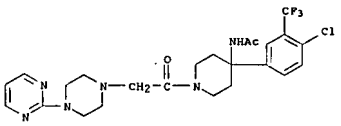
CRN 144-62-7
CMF C2 H2 O4

RN 634464-53-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

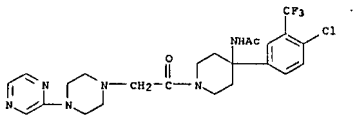


●2 HCl

RN 634464-60-1 CAPLUS
CN Acetamide, N-[4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[[4-(2-pyrimidinyl)-1-piperazinyl]acetyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

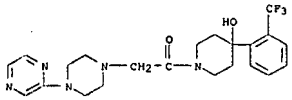


RN 634464-66-7 CAPLUS
CN Acetamide, N-[4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



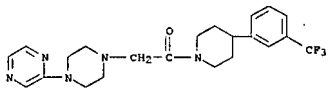
RN 634525-03-4 CAPLUS
CN 4-Piperidinol, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[2-

(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IT 634461-08-8P, 2-[4-(2-Pyrazinyl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone monohydrochloride
634461-12-4P, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]-1-ethanone Dioxalate 634461-18-0P, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-3-[4-(2-(pyrazinyl))-1-piperazinyl]-1-propanone oxalate 634461-29-3P,
1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrimidinyl)-1-piperazinyl]-1-ethanone Trihydrochloride
634461-33-9P 634461-39-5P 634461-46-4P
634461-52-2P 634461-57-7P 634461-73-7P
634461-76-0P 634461-81-7P 634461-87-3P
634461-93-1P 634461-95-7P 634462-26-3P
634462-32-1P 634462-38-7P 634462-49-0P,
2-[4-(4-Pyrimidinyl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-3,6-dihydro-1(2H)-pyridinyl]-1-ethanone dioxalate 634462-55-8P
634462-61-6P 634462-68-3P 634462-79-6P,
1-[4-(Hydroxymethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634462-83-2P,
1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634462-87-6P
634462-98-9P, 1-[4-(4-Chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634463-03-9P,
1-[4-(Aminomethyl)-4-(4-chlorophenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trifluoroacetate 634463-13-1P
634463-23-3P 634463-33-5P 634463-44-8P
634463-55-1P 634463-72-2P 634463-77-7P
634463-88-0P 634463-93-7P 634463-97-1P
634464-03-2P 634464-08-7P, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634464-15-6P, 1-[4-[(Isopropylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634464-20-3P,
1-[4-[(N-Methylisopropylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trihydrochloride
634464-24-7P 634464-29-2P 634464-34-9P
634464-39-4P 634464-44-1P 634464-48-5P,
1-[4-(Aminomethyl)-4-(3-chlorophenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634464-72-5P, 1-[4-(Aminomethyl)-4-(3-methoxyphenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Dioxalate 634466-52-7P 634470-18-1P
634470-24-9P 634470-30-7P 634470-42-1P
634525-08-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(NGF binding inhibitor, preparation of piperazinylacylpiperidines as

inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)
RN 634461-08-8 CAPLUS
CN Piperidine, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

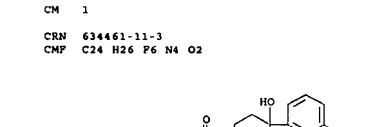


● HCl

RN 634461-12-4 CAPLUS
CN 4-Piperidinol, 4-[3-(trifluoromethyl)phenyl]-1-[[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]acetyl]-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 634461-11-3
CMF C24 H26 F6 N4 O2



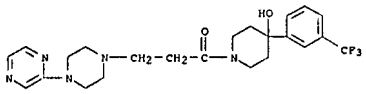
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634461-18-0 CAPLUS
CN 4-Piperidinol, 1-[1-oxo-3-(4-pyrazinyl-1-piperazinyl)propyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

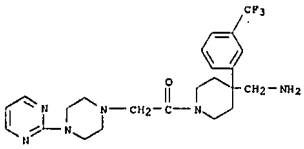
CRN 634461-17-9
CMF C23 H28 F3 N5 O2



CM 2

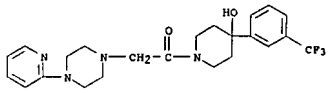
CRN 144-62-7
CMF C2 H2 O4

RN 634461-29-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(2-pyrimidinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

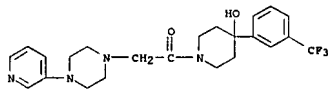
RN 634461-33-9 CAPLUS
CN 4-Piperidinol, 1-[[4-(2-pyridinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634461-39-5 CAPLUS
CN 4-Piperidinol, 1-[[4-(3-pyridinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 634461-38-4
CMF C23 H27 F3 N4 O2



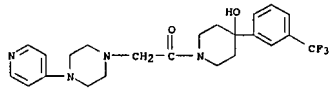
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634461-46-4 CAPLUS
CN 4-Piperidinol, 1-[[4-(4-pyridinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 634461-45-3
CMF C23 H27 F3 N4 O2



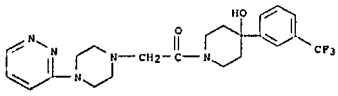
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634461-52-2 CAPLUS
CN 4-Piperidinol, 1-[[4-(3-pyridazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

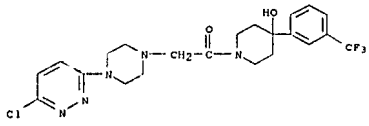
CRN 634461-51-1
CMF C22 H26 F3 N5 O2



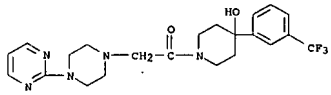
CM 2

CRN 144-62-7
CMF C2 H2 O4

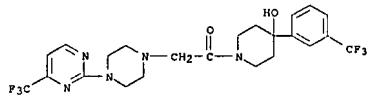
RN 634461-57-7 CAPLUS
CN 4-Piperidinol, 1-[[4-(6-chloro-3-pyridazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



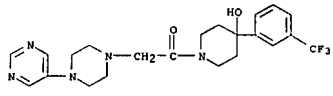
RN 634461-73-7 CAPLUS
CN 4-Piperidinol, 1-[[4-(2-pyrimidinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634461-76-0 CAPLUS
CN 4-Piperidinol, 4-[3-(trifluoromethyl)phenyl]-1-[[4-[4-(trifluoromethyl)-2-pyrimidinyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



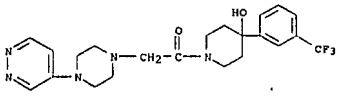
RN 634461-81-7 CAPLUS
CN 4-Piperidinol, 1-[[4-(5-pyrimidinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634461-87-3 CAPLUS
CN 4-Piperidinol, 1-[[4-(4-pyridazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

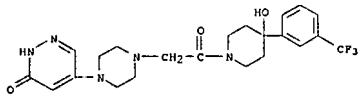
CRN 634461-86-2
CMF C22 H26 F3 N5 O2



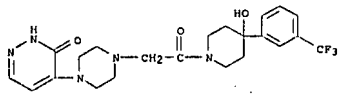
CM 2

CRN 76-05-1
CMF C2 H F3 O2

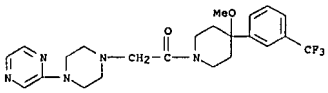
RN 634461-93-1 CAPLUS
CN 4-Piperidinol, 1-[[4-(1,6-dihydro-6-oxo-4-pyridazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634461-99-7 CAPLUS
CN 4-Piperidinol, 1-[[4-(2,3-dihydro-3-oxo-4-pyridazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634462-26-3 CAPLUS
CN Piperidine, 4-methoxy-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

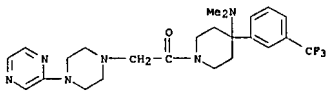


●3 HCl

RN 634462-32-1 CAPLUS
CN 4-Piperidinamine, N,N-dimethyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

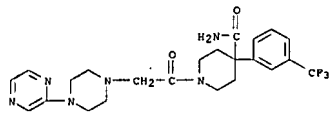
CRN 634462-31-0
CMF C24 H31 F3 N6 O



CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634462-38-7 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

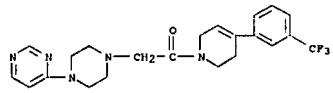


●3 HCl

RN 634462-49-0 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(4-pyrimidinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 634462-48-9
CMF C22 H24 F3 N5 O



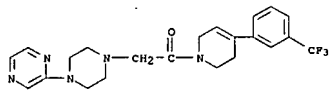
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634462-55-8 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 634462-54-7
CMF C22 H24 F3 N5 O



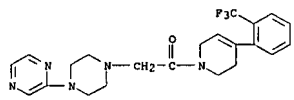
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634462-61-6 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[2-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

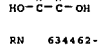
CM 1

CRN 634462-60-5
CMF C22 H24 F3 N5 O



CM 2

CRN 144-62-7
CMF C2 H2 O4

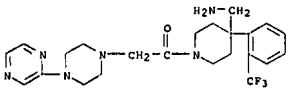


RN 634462-68-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[2-(trifluoromethyl)phenyl]-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 634462-67-2

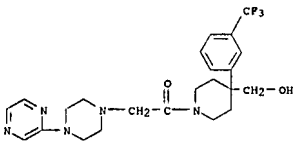
CMF C23 H29 F3 N6 O



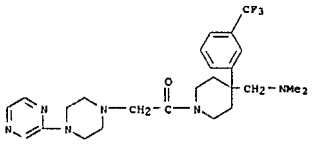
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634462-79-6 CAPLUS
CN 4-Piperidinemethanol, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

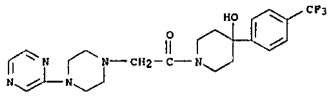


RN 634462-83-2 CAPLUS
CN 4-Piperidinemethanamine, N,N-dimethyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

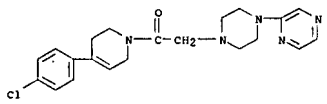


RN 634462-87-6 CAPLUS
CN 4-Piperidinol, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[4-

(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



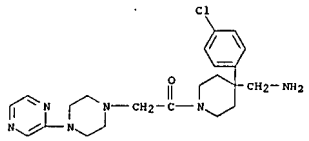
RN 634462-98-9 CAPLUS
CN Pyridine, 4-(4-chlorophenyl)-1,2,3,6-tetrahydro-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



RN 634463-03-9 CAPLUS
CN 4-Piperidinemethanamine, 4-(4-chlorophenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

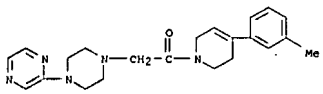
CRN 634463-02-8
CMF C22 H29 Cl N6 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

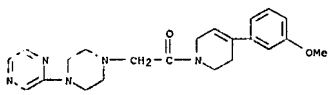
RN 634463-13-1 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-4-(3-methylphenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



RN 634463-23-3 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-4-(3-methoxyphenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 634463-22-2
CMF C22 H27 N5 O2



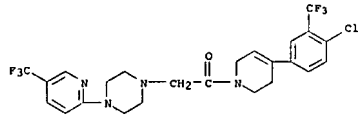
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634463-33-5 CAPLUS
CN Pyridine, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1,2,3,6-tetrahydro-1-[[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]acetyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

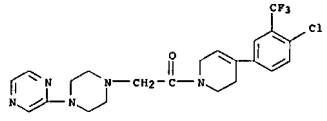
CRN 634463-32-4
CMF C24 H23 Cl F6 N4 O



CM 2

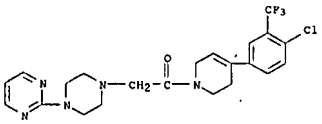
CRN 144-62-7
CMF C2 H2 O4

RN 634463-44-8 CAPLUS
CN Pyridine, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1,2,3,6-tetrahydro-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 634463-55-1 CAPLUS
CN Pyridine, 4-[4-chloro-3-(trifluoromethyl)phenyl]-1,2,3,6-tetrahydro-1-[[4-(2-pyrimidinyl)-1-piperazinyl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

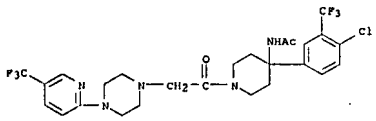


●2 HCl

RN 634463-72-2 CAPLUS
CN Acetamide, N-[4-[4-chloro-3-(trifluoromethyl)phenyl]-1-[[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]acetyl]-4-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

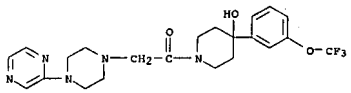
CRN 634463-71-1
CMF C26 H28 Cl F6 N5 O2



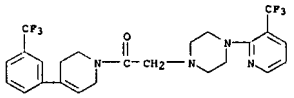
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 634463-77-7 CAPLUS
CN 4-Piperidinol, 1-[[4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

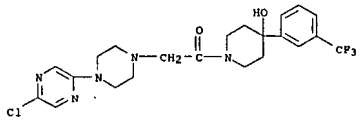


RN 634463-88-0 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-4-[3-(trifluoromethyl)phenyl]-1-[[4-[3-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]acetyl]-, hydrochloride (2:3) (9CI) (CA INDEX NAME)

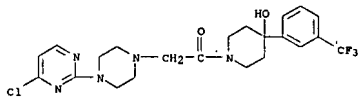


●3/2 HCl

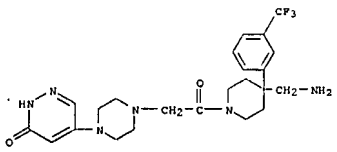
RN 634463-93-7 CAPLUS
CN 4-Piperidinol, 1-[[4-(5-chloropyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634463-97-1 CAPLUS
CN 4-Piperidinol, 1-[[4-(4-chloro-2-pyrimidinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

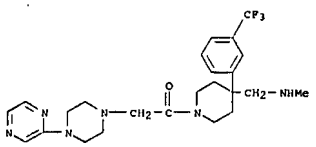


RN 634464-03-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(1,6-dihydro-6-oxo-4-pyridazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

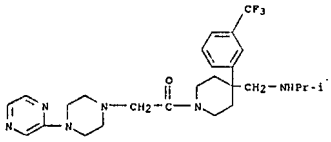


●3 HCl

RN 634464-08-7 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

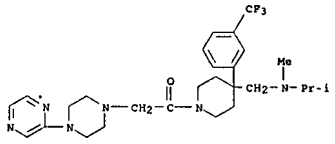


RN 634464-15-6 CAPLUS
CN 4-Piperidinemethanamine, N-(1-methylethyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



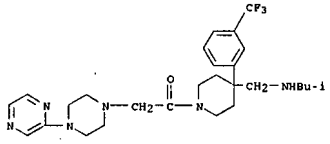
RN 634464-20-3 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-N-(1-methylethyl)-1-[(4-pyrazinyl-1-

piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

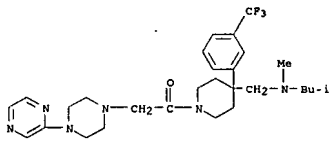


●3 HCl

RN 634464-24-7 CAPLUS
CN 4-Piperidinemethanamine, N-(2-methylpropyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

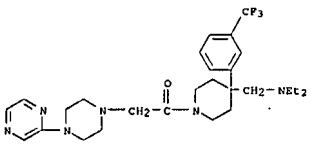


RN 634464-29-2 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-N-(2-methylpropyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

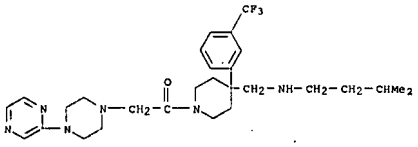


●3 HCl

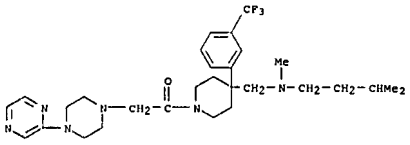
RN 634464-34-9 CAPLUS
CN 4-Piperidinemethanamine, N,N-diethyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634464-39-4 CAPLUS
CN 4-Piperidinemethanamine, N-(3-methylbutyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



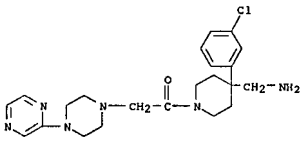
RN 634464-44-1 CAPLUS
CN 4-Piperidinemethanamine, N-methyl-N-(3-methylbutyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 634464-48-5 CAPLUS
CN 4-Piperidinemethanamine, 4-(3-chlorophenyl)-1-[(4-pyrazinyl-1-

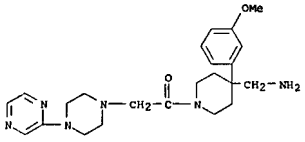
piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



RN 634464-72-5 CAPLUS
CN 4-Piperidinemethanamine, 4-(3-methoxyphenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

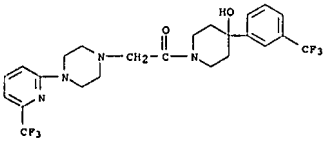
CRN 634464-71-4
CMF C23 H32 N6 O2



CM 2

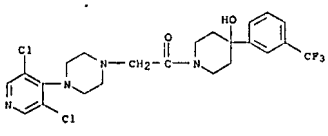
CRN 144-62-7
CMF C2 H2 O4

RN 634466-52-7 CAPLUS
CN 4-Piperidinol, 4-[3-(trifluoromethyl)phenyl]-1-[[4-[6-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

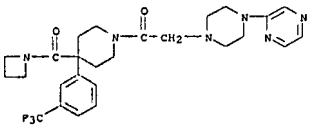


● HCl

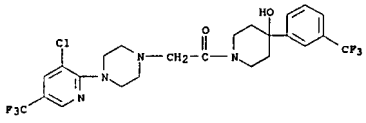
RN 634470-18-1 CAPLUS
CN 4-Piperidinol, 1-[[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



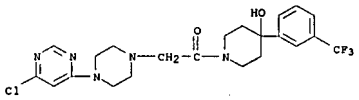
RN 634470-24-9 CAPLUS
CN Piperidine, 4-(1-azetidinylcarbonyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



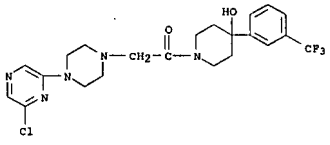
RN 634470-30-7 CAPLUS
CN 4-Piperidinol, 1-[[4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634470-42-1 CAPLUS
CN 4-Piperidinol, 1-[[4-(6-chloro-4-pyrimidinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



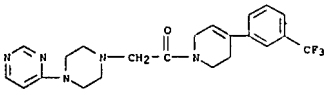
RN 634525-08-9 CAPLUS
CN 4-Piperidinol, 1-[[4-(6-chloropyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



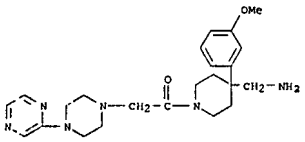
IT 634462-48-9P 634464-71-4P 634469-50-4P,
1-[2-[4-(2-Pyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile 634469-57-1P, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate
634469-63-9P, 1-[2-[4-(2-Pyrimidinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile 634469-68-4P
634469-69-5P 634469-74-2P, 4-(4-Chlorophenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile
634469-86-6P, tert-Butylmethyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]]1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate 634469-90-2P, 4-(3-Chlorophenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile
634469-97-9P, 4-(3-Methoxyphenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

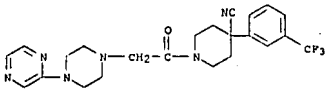
RN 634462-48-9 CAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[[4-(4-pyrimidinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



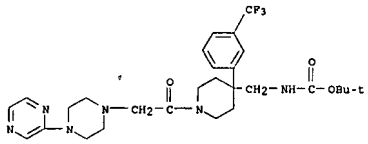
RN 634464-71-4 CAPLUS
CN 4-Piperidinemethanamine, 4-(3-methoxyphenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



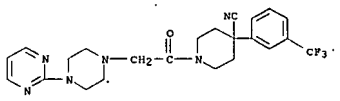
RN 634469-50-4 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



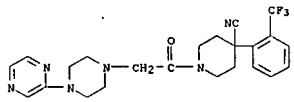
RN 634469-57-1 CAPLUS
CN Carbamic acid, [[1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 634469-63-9 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[[4-(2-pyrimidinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



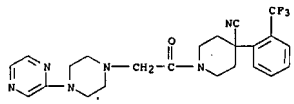
RN 634469-68-4 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 634469-69-5 CAPLUS
CN 4-Piperidinecarbonitrile, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[2-(trifluoromethyl)phenyl]-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

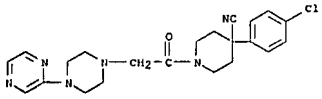
CRN 634469-68-4
CMF C23 H25 F3 N6 O



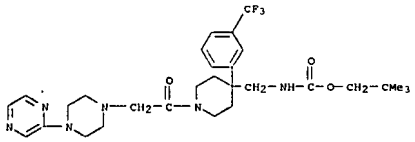
CM 2

CRN 144-62-7
CMF C2 H2 O4

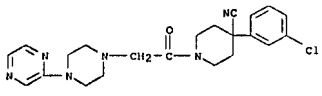
RN 634469-74-2 CAPLUS
CN 4-Piperidinecarbonitrile, 4-(4-chlorophenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



RN 634469-86-6 CAPLUS
CN Carbamic acid, [[1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)

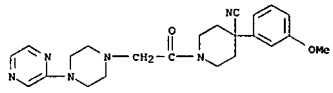


RN 634469-90-2 CAPLUS
CN 4-Piperidinecarbonitrile, 4-(3-chlorophenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)

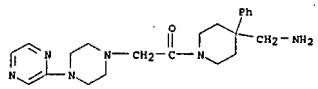


RN 634469-97-9 CAPLUS

CN 4-Piperidinecarbonitrile, 4-(3-methoxyphenyl)-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



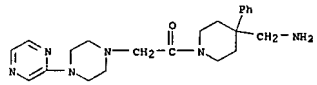
IT 634469-80-0P, 1-[4-(Aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)
RN 634469-80-0 CAPLUS
CN 4-Piperidinemethanamine, 4-phenyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



IT 634469-81-1P, 1-[4-(Aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trifluoroacetate
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)
RN 634469-81-1 CAPLUS
CN 4-Piperidinemethanamine, 4-phenyl-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 634469-80-0
CMF C22 H30 N6 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2

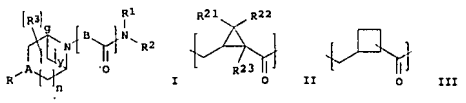
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

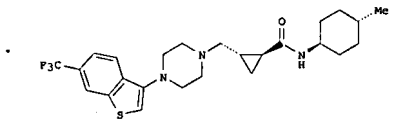
L12 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:658095 CAPLUS
DOCUMENT NUMBER: 137:201331
TITLE: Preparation of heterocyclic substituted cycloalkanecarboxamides as dopamine D3 receptor ligands
INVENTOR(S): Hendrix, James A.; Hemmerle, Horst; Urmann, Matthias; Shutske, Gregory; Strupczewski, Joseph T.; Bordeau, Kenneth J.; Jurcak, John G.; Nieduzak, Thaddeus; Jackson, Sharon Anne; Angell, Paul; Fink, David M.; Sabuco, Jean-Francois; Chiang, Yulin; Collar, Nicola
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA; Carey, James P.; Lee, George E.
SOURCE: PCT Int. Appl., 392 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066446	A1	20020829	WO 2002-US4713	20020215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2438264	A1	20020829	CA 2002-2438264	20020215
AU 2002250107	A1	20020904	AU 2002-250107	20020215
EP 1362039	A1	20031119	EP 2002-718999	20020215
EP 1362039	B1	20051221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004518740	T	20040624	JP 2002-565962	20020215
AT 313534	T	20060115	AT 2002-718999	20020215
EP 1632483	A1	20060308	EP 2005-18120	20020215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
ES 2253526	T3	20060601	ES 2002-2718999	20020215
MX 2003PA06943	A	20050429	MX 2003-PA6943	20030804
US 2004220173	A1	20041104	US 2004-819037	20040406

US 7186724	B2	20070306		
US 2007161641	A1	20070712	US 2007-714047	20070305
PRIORITY APPLN. INFO.:			US 2001-269672P	P 20010216
			GB 2001-17577	A 20010719
			EP 2002-718999	A3 20020215
			WO 2002-US4713	W 20020215
			US 2002-78225	B1 20020219
			US 2004-819037	A3 20040406

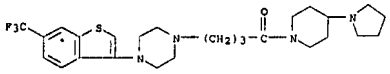
OTHER SOURCE(S): MARPAT 137:201331
GI



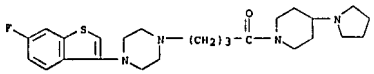


AB The title compds. [I; A = CH, N; n = 1-2; when n = 1, yr = 0 or 2; when n = 2, yr = 0; g = 1-2; R3 = H, alkyl, (CH2)wPh; w = 1-3; R = (un)substituted benzothienyl, pyrazinyl, pyridyl, etc.; BCO = (CR19C20)dCO, II, III, etc.; R19, R20 = H, OH, alkyl; R21-R23 = H, alkyl; d = 3-4; R1 = H, alkyl, etc.; R2 = 3-(imidazol-1-yl)propyl, trans-4-methylcyclohexyl, trans-4-ethylcyclohexyl, etc.] that display selective binding to dopamine D3 receptors, and therefore are useful in treating central nervous system disorders such as psychotic disorders, substance dependence, substance abuse, dyskinetic disorders (e.g., Parkinson s disease, parkinsonism, neuroleptic-induced tardive dyskinesia, Gilles de la Tourette syndrome and Huntington's disease), dementia, anxiety disorders, sleep disorders, circadian rhythm disorders and mood disorders, were prepared E.g., a multi-step synthesis of trans/trans-IV was described.. Biol. data for more than 1000 compds. I were given. The subject invention is also directed towards processes for the preparation of the compds. I as well as methods for making and using the compds. as imaging agents for dopamine D3 receptors.
IT 452902-57-7P 452902-79-3P 452903-57-0P
452903-67-2P 452909-63-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic substituted cycloalkanecarboxamides as dopamine D3 receptor ligands)
RN 452902-57-7 CAPLUS

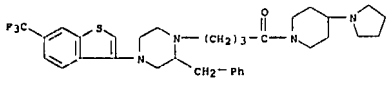
CN Piperidine, 1-[1-oxo-4-[4-[6-(trifluoromethyl)benzo[b]thien-3-yl]-1-piperazinyl]butyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



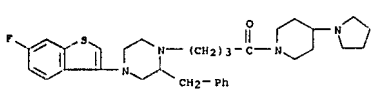
RN 452902-79-3 CAPLUS
CN Piperidine, 1-[4-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperazinyl]-1-oxobutyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



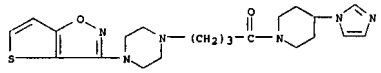
RN 452903-57-0 CAPLUS
CN Piperidine, 1-[1-oxo-4-[2-(phenylmethyl)-4-[6-(trifluoromethyl)benzo[b]thien-3-yl]-1-piperazinyl]butyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 452903-67-2 CAPLUS
CN Piperidine, 1-[4-[4-(6-fluorobenzo[b]thien-3-yl)-2-(phenylmethyl)-1-piperazinyl]-1-oxobutyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 452909-63-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-1-yl)-1-[1-oxo-4-(4-thieno[2,3-d]isoxazol-3-yl-1-piperazinyl)butyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:197358 CAPLUS
DOCUMENT NUMBER: 128:257695
TITLE: Preparation of modified amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compositions
INVENTOR(S): Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PATENT ASSIGNEE(S): Karl Thomae G.m.b.H., Germany
SOURCE: PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811128	A1	19980319	WO 1997-EP4862	19970908
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19636623	A1	19980312	DE 1996-19636623	19960910
DE 19720011	A1	19981119	DE 1997-19720011	19970514
CA 2262818	A1	19980319	CA 1997-2262818	19970908
AU 9741196	A	19980402	AU 1997-41196	19970908
AU 721035	B2	20000622		
EP 927192	A1	19990707	EP 1997-938928	19970908
EP 927192	B1	20040512		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9712023	A	19990831	BR 1997-12023	19970908
JP 2000505100	T	20000425	JP 1998-513227	19970908
JP 3483893	B2	20040106		
HU 9904501	A2	20000428	HU 1999-4501	19970908
AT 266673	T	20040515	AT 1997-938928	19970908
EE 4375	B1	20041015	EE 1999-115	19970908
PL 190180	B1	20051130	PL 1997-331989	19970908
SK 285631	B6	20070503	SK 1999-297	19970908
NO 9901130	A	19990505	NO 1999-1130	19990309
KR 2000044040	A	20000715	KR 1999-702008	19990310
BG 64214	B1	20040531	BG 1999-103250	19990315
US 6344449	B1	20020205	US 1999-254281	19991012

HK 1021192	A1	20040430	HK 1999-105722		19991208
US 2001036946	A1	20011101	US 2001-789391		20010221
US 2003069231	A1	20030410	US 2002-119875		20020410
US 2004214819	A1	20041028	US 2004-835495		20040429
PRIORITY APPLN. INFO.:			DE 1996-19636623	A	19960910
			DE 1997-19720011	A	19970514
			WO 1997-EP4862	W	19970908
			US 1999-254281	A1	19991012
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			US 2002-119875	B1	20020410

OTHER SOURCE(S): MARPAT 128:257695

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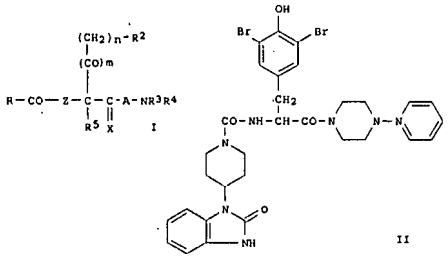


I

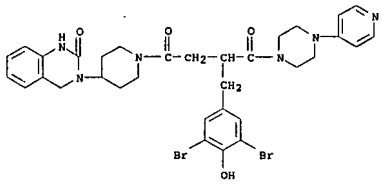
II

AB The invention concerns modified amino acids of general formula I [A = bond, CX; Z = CH2, NR1; R1 = H, alkyl, phenyl-alkyl; X = O, H,H; n = 1-2; m = 0-1; R = (substituted)alkyl; R2 = Ph, (substituted)(hetero)(bi)cycle; R3 = H, (substituted)alkyl, Ph, pyridinyl; R4 = H, (substituted)alkyl; R3R4= (hetero)cycle; R5 = H, alkyl, alkoxycarbonyl, PhCH2], pharmaceuticals containing these compds., their use and the method for their production, as well as their use for the production and purification of antibodies and as marked compds. in RIA and ELISA assays and as diagnostic or analytic auxiliary agents in neurotransmitter research. Thus, 3,5-dibromo-N2-[4-(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-pyridinyl)-piperazine, to give II(22%). Title compds. show human calcitonin gene related peptide (CGRP) antagonist activity; in in-vitro binding studies with Sk-N-MC-cells, I had IC50 ≤10000 nM, and in the same system, had CGRP-antagonist activity at doses from 10-11 to 10-6 M.

IT 205061-88-7P 205061-89-8P 205061-90-1P
205062-88-0P 205062-90-4P 205062-91-5P
205063-22-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

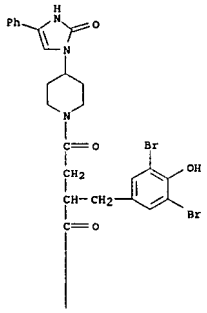
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compns.)

RN 205061-88-7 CAPLUS
CN Piperazine, 1-[2-[(3,5-dibromo-4-hydroxyphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

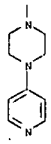


RN 205061-89-8 CAPLUS
CN Piperazine, 1-[2-[(3,5-dibromo-4-hydroxyphenyl)methyl]-4-[4-(2,3-dihydro-2-oxo-4-phenyl-1H-imidazol-1-yl)-1-piperidinyl]-1,4-dioxobutyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

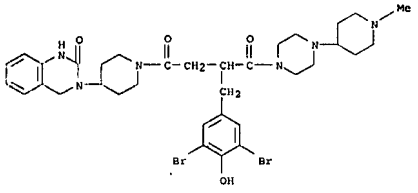
PAGE 1-A



PAGE 2-A

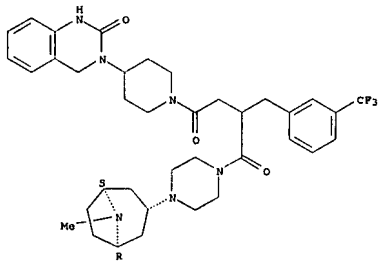


RN 205061-90-1 CAPLUS
CN Piperazine, 1-[2-[(3,5-dibromo-4-hydroxyphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

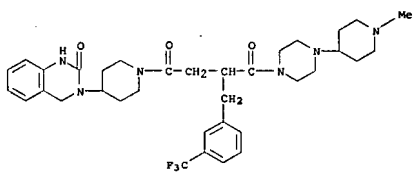


RN 205062-88-0 CAPLUS
CN Piperazine, 1-(4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxo-2-[[3-(trifluoromethyl)phenyl]methyl]butyl]-4-[(3-exo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]- (9CI) (CA INDEX NAME)

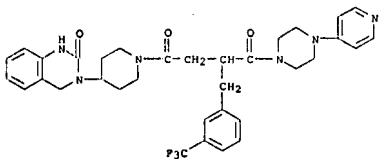
Relative stereochemistry.



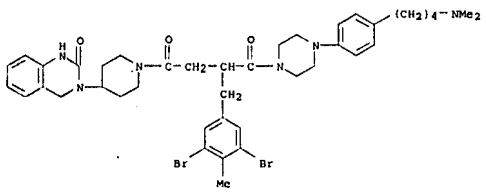
RN 205062-90-4 CAPLUS
CN Piperazine, 1-[4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxo-2-[[3-(trifluoromethyl)phenyl]methyl]butyl]-4-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 205062-91-5 CAPLUS
CN Piperazine, 1-[4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxo-2-[[3-(trifluoromethyl)phenyl]methyl]butyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 205063-22-5 CAPLUS
CN Piperazine, 1-[2-[(3,5-dibromo-4-methylphenyl)methyl]-4-[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]-1,4-dioxobutyl]-4-[4-[4-(dimethylamino)butyl]phenyl]- (9CI) (CA INDEX NAME)



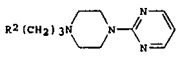
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:594790 CAPLUS
DOCUMENT NUMBER: 111:194790
TITLE: Preparation of N-[3-(heterocyclylcarbonyl- and -sulfonyl)propyl]-N'-2-pyrimidinylpiperazines as antianxiety agents
INVENTOR(S): Welch, Willard Mckowan
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 314363	A2	19890503	EP 1988-309725	19881017
EP 314363	A3	19900711		
EP 314363	B1	19930407		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
WO 8903831	A1	19890505	WO 1987-US2855	19871026
W: FI, HU, NO, SU, US				
HU 58724	A2	19920330	HU 1987-6036	19871026
HU 206109	B	19920828		
AT 87919	T	19930415	AT 1988-309725	19881017
ES 2054823	T3	19940816	ES 1988-309725	19881017
IL 88085	A	19930221	IL 1988-88085	19881019
JP 01157979	A	19890621	JP 1988-268008	19881024
JP 06043406	B	19940608		
CN 1042148	A	19900516	CN 1988-107386	19881024
CN 1022246	B	19930929		
ZA 8807925	A	19900627	ZA 1988-7925	19881024
DD 283388	A5	19901010	DD 1988-321032	19881024
DD 298397	A5	19920220	DD 1988-337989	19881024
CA 1314881	C	19930323	CA 1988-581091	19881024
AU 8824327	A	19890427	AU 1988-24327	19881025
AU 598161	B2	19900614		
DK 8805914	A	19890427	DK 1988-5914	19881025
DK 171788	B1	19970526		
PL 152117	B1	19901130	PL 1988-275476	19881025
PL 153184	B1	19910329	PL 1988-279558	19881025
CS 274441	B2	19910411	CS 1988-7080	19881026
CS 274446	B2	19910411	CS 1989-1351	19890302
NO 9001652	A	19900411	NO 1990-1652	19900411
US 4994455	A	19910219	US 1990-477835	19900421
RU 2029768	C1	19950227	RU 1990-4743942	19900425
FI 94638	B	19950630	FI 1990-2070	19900425
FI 94638	C	19951010		
PRIORITY APPLN. INFO.:			WO 1987-US2855	A 19871026
			EP 1988-309725	A 19881017
			CS 1988-7080	A3 19881026

OTHER SOURCE(S): CASREACT 111:194790; MARPAT 111:194790
GI



AB The title compds. (I; R2 = RCO, R1SO2; R = 14 specific N-attached heterocyclyl, e.g., pyrrolidino, piperidine, etc.; R1 = 7 specific N-attached heterocyclyl, e.g., 4,4-dimethylpiperidino, 4-(2-pyrimidinyl)piperazino, etc.) were prepared as antianxiety agents (no data). Br(CH2)3CO2Et was refluxed 4 h with H2O-separation with 1-(2-pyrimidinyl)piperazine in MeCOCH2CHMe2 containing Na2CO3 and KI to give 75% I (R2 = CO2Et) which was saponified and the product stirred 3 h at 0° and then overnight with 4,4-dimethylpiperidine in CH2Cl2 containing Et3N, 1-hydroxybenzotriazole, and DCC to give 47% I (R2 = 4,4-dimethylpiperidinocarbonyl).
IT 123319-56-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antianxiety agent)
RN 123319-56-2 CAPLUS
CN Piperidine, 4-acetyl-1-[1-oxo-4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-4-phenyl- (9CI) (CA INDEX NAME)